

10/527,940

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* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 3 MAR 16 CASREACT coverage extended
NEWS 4 MAR 20 MARPAT now updated daily
NEWS 5 MAR 22 LWPI reloaded
NEWS 6 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 7 APR 02 JICST-EPLUS removed from database clusters and STN
NEWS 8 APR 30 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 9 APR 30 CHEMCATS enhanced with 1.2 million new records
NEWS 10 APR 30 CA/Capplus enhanced with 1870-1889 U.S. patent records
NEWS 11 APR 30 INPADOC replaced by INPADOCDB on STN
NEWS 12 MAY 01 New CAS web site launched
NEWS 13 MAY 08 CA/Capplus Indian patent publication number format defined
NEWS 14 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and
display fields
NEWS 15 MAY 21 BIOSIS reloaded and enhanced with archival data
NEWS 16 MAY 21 TOXCENTER enhanced with BIOSIS reload
NEWS 17 MAY 21 CA/Capplus enhanced with additional kind codes for German
patents
NEWS 18 MAY 22 CA/Capplus enhanced with IPC reclassification in Japanese
patents
NEWS 19 JUN 27 CA/Capplus enhanced with pre-1967 CAS Registry Numbers
NEWS 20 JUN 29 STN Viewer now available
NEWS 21 JUN 29 STN Express, Version 8.2, now available
NEWS 22 JUL 02 LEMBASE coverage updated
NEWS 23 JUL 02 LMEDLINE coverage updated
NEWS 24 JUL 02 SCISEARCH enhanced with complete author names
NEWS 25 JUL 02 CHEMCATS accession numbers revised
NEWS 26 JUL 02 CA/Capplus enhanced with utility model patents from China

NEWS EXPRESS 29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 4 MAY 2007.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

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NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 20:29:38 ON 03 JUL 2007

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 20:29:56 ON 03 JUL 2007

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STRUCTURE FILE UPDATES: 2 JUL 2007 HIGHEST RN 940883-34-1
DICTIONARY FILE UPDATES: 2 JUL 2007 HIGHEST RN 940883-34-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

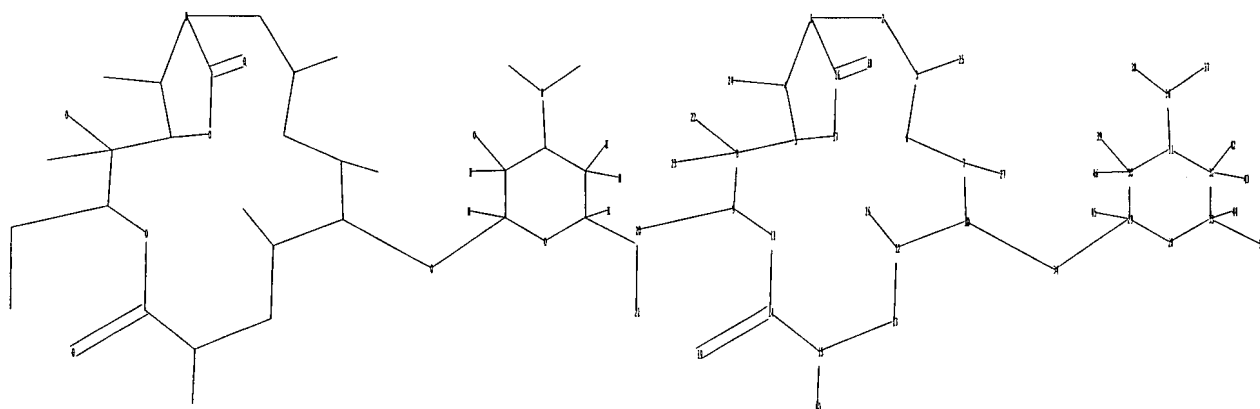
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10527940.str

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chain nodes :

18 19 20 21 22 23 24 25 26 27 34 35 36 37 38 39 40 42 43
44 45 46

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 28 29 30 31
32 33

chain bonds :

3-25 4-24 7-27 8-22 8-23 9-20 10-34 12-26 14-19 15-40 16-18
20-21 29-34 29-45 30-39 30-46 31-36 32-42 32-43 33-35 33-44 36-37
36-38

ring bonds :

1-2 1-4 1-16 2-3 3-6 4-5 5-8 5-17 6-7 7-10 8-9 9-11 10-12
11-14 12-13 13-15 14-15 16-17 28-29 28-33 29-30 30-31 31-32 32-33

exact/norm bonds :

1-2 1-4 1-16 2-3 3-6 4-5 5-8 5-17 6-7 7-10 8-9 8-22 9-11 10-12
10-34 11-14 12-13 13-15 14-15 14-19 16-17 16-18 29-34 30-39
31-36 36-37 36-38

exact bonds :

3-25 4-24 7-27 8-23 9-20 12-26 15-40 20-21 28-29 28-33 29-30
29-45 30-31 30-46 31-32 32-33 32-42 32-43 33-35 33-44

isolated ring systems :

containing 28 :

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Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom
18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS
25:CLASS 26:CLASS 27:CLASS 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom
33:Atom 34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS
40:CLASS 42:CLASS 43:CLASS 44:CLASS 45:CLASS 46:CLASS

L1 STRUCTURE UPLOADED

=> s1

S1 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=>

=> s l1

SAMPLE SEARCH INITIATED 20:30:30 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 54 TO ITERATE

100.0% PROCESSED 54 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 640 TO 1520
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 20:30:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1043 TO ITERATE

100.0% PROCESSED 1043 ITERATIONS 40 ANSWERS
SEARCH TIME: 00.00.01

L3 40 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.55	172.76

FILE 'CAPLUS' ENTERED AT 20:30:50 ON 03 JUL 2007
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FILE COVERS 1907 - 3 Jul 2007 VOL 147 ISS 2
FILE LAST UPDATED: 2 Jul 2007 (20070702/ED)

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=> s l3

L4 5 L3

=> d l5 ibib hitstr abs 1-5

L5 NOT FOUND

The L-number entered has not been defined in this session, or it has been deleted. To see the L-numbers currently defined in this session, enter DISPLAY HISTORY at an arrow prompt (=>).

=> d l4 ibib hitstr abs 1-5

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:714462 CAPLUS

DOCUMENT NUMBER: 144:412784

TITLE: 3-O-acyl derivatives of bridged-15-membered
azalides:

Synthesis, structural determination and
antibacterial
activity

AUTHOR(S): Fajdetic, Andrea; Kobrehel, Gabrijela; Lazarevski,
Gorjana; Stimac, Vlado; Mutak, Stjepan

CORPORATE SOURCE: PLIVA - Research Institute, Ltd., Zagreb, 10000,
Croatia

SOURCE: Croatica Chemica Acta (2005), 78(2), 301-312
CODEN: CCACAA; ISSN: 0011-1643

PUBLISHER: Croatian Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:412784

IT 152344-27-9 675832-14-1 675832-16-3

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RL: PAC (Pharmacological activity); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

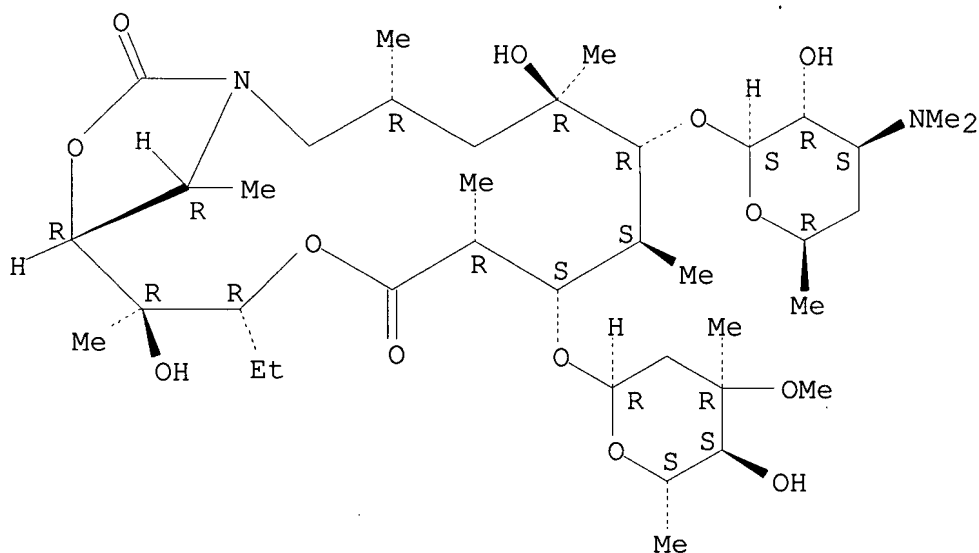
(preparation and in vitro Gram-pos. and Gram-neg. bacteria antibacterial

activity of 3-O-acyl derivs. of bridged-15-membered azalides)

RN 152344-27-9 CAPLUS

CN 11,15-Dioxo-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-12-ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

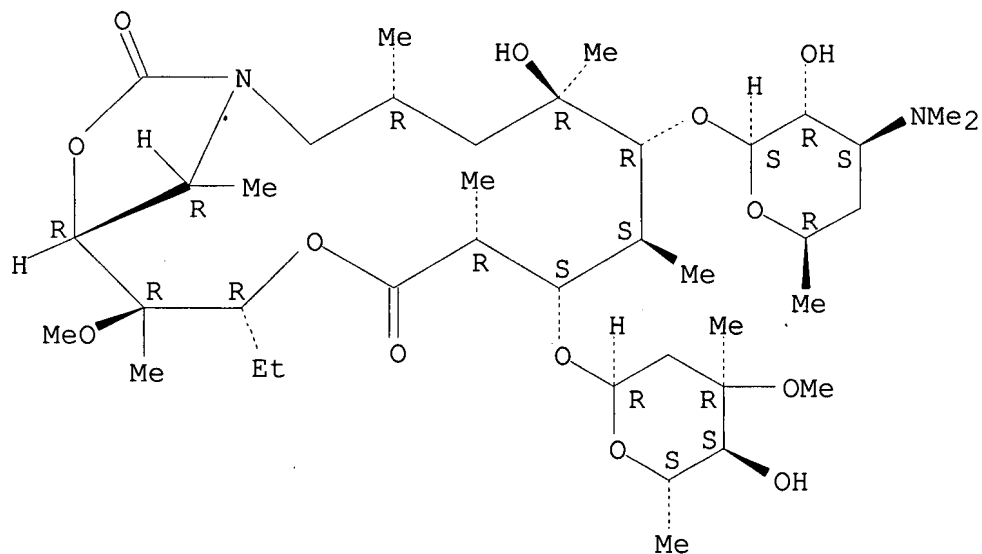


RN 675832-14-1 CAPLUS

CN 11,15-Dioxo-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-12-ethyl-5-hydroxy-13-methoxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

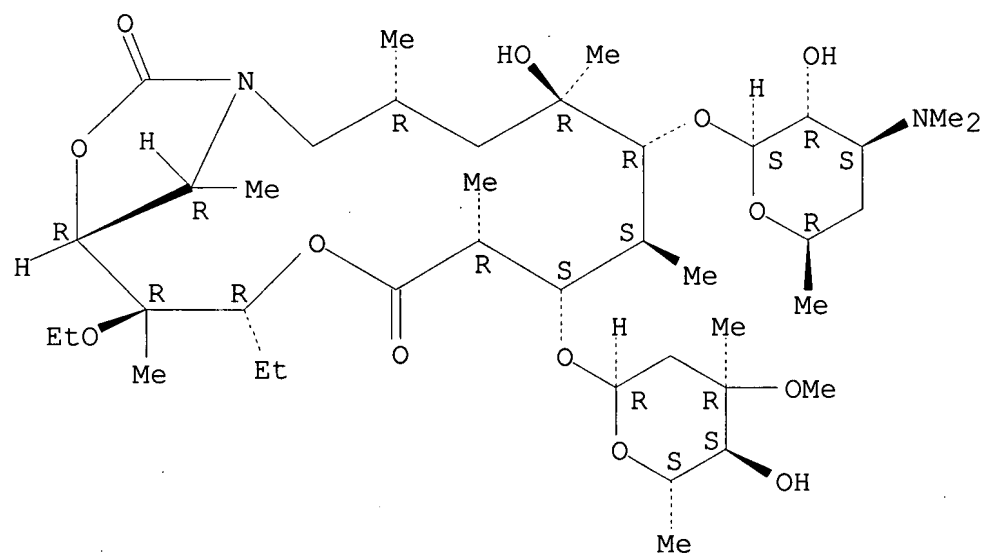
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RN 675832-16-3 CAPLUS

CN 11,15-Dioxo-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-13-
ethoxy-12-ethyl-5-hydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-
(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 675831-84-2P 675831-86-4P 675831-88-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant)

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or reagent)

(preparation and in vitro Gram-pos. and Gram-neg. bacteria
antibacterial

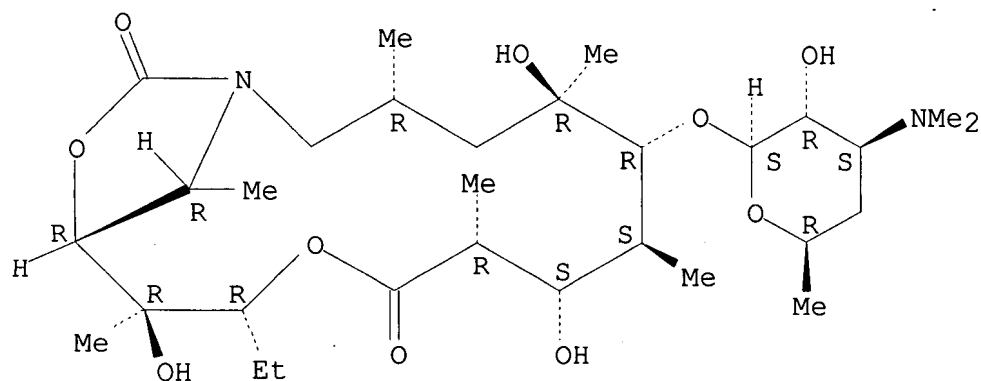
activity of 3-O-acyl derivs. of bridged-15-membered azalides)

RN 675831-84-2 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,

12-ethyl-5,8,13-trihydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

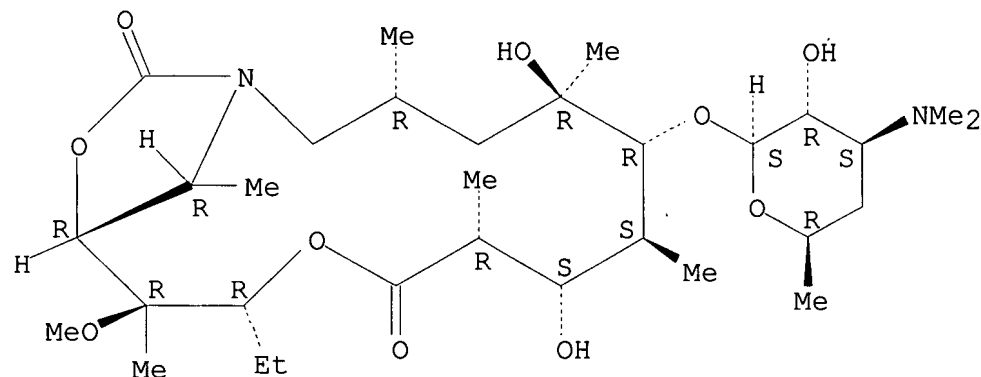
Absolute stereochemistry.



RN 675831-86-4 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
12-ethyl-5,8-dihydroxy-13-methoxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



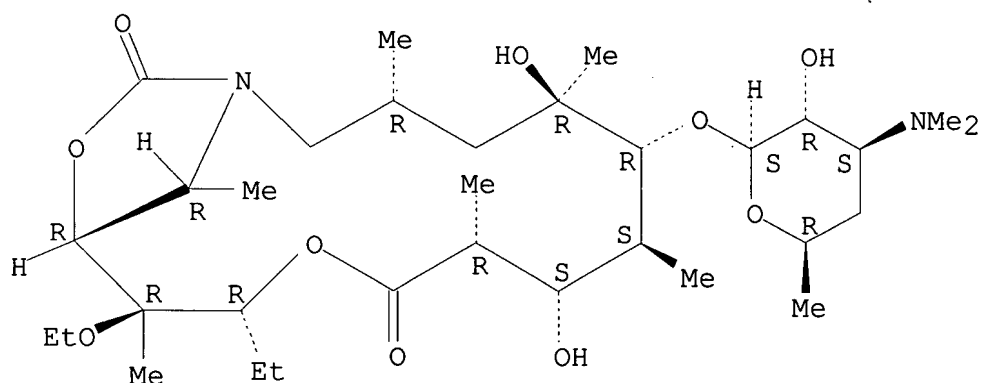
RN 675831-88-6 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
13-ethoxy-12-ethyl-5,8-dihydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-

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trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 675832-02-7P 675832-03-8P 675832-04-9P
675832-05-0P 675832-06-1P 675832-07-2P
675832-08-3P 675832-09-4P 675832-10-7P
675832-11-8P 675832-12-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)

(preparation and in vitro Gram-pos. and Gram-neg. bacteria
antibacterial

activity of 3-O-acyl derivs. of bridged-15-membered azalides)

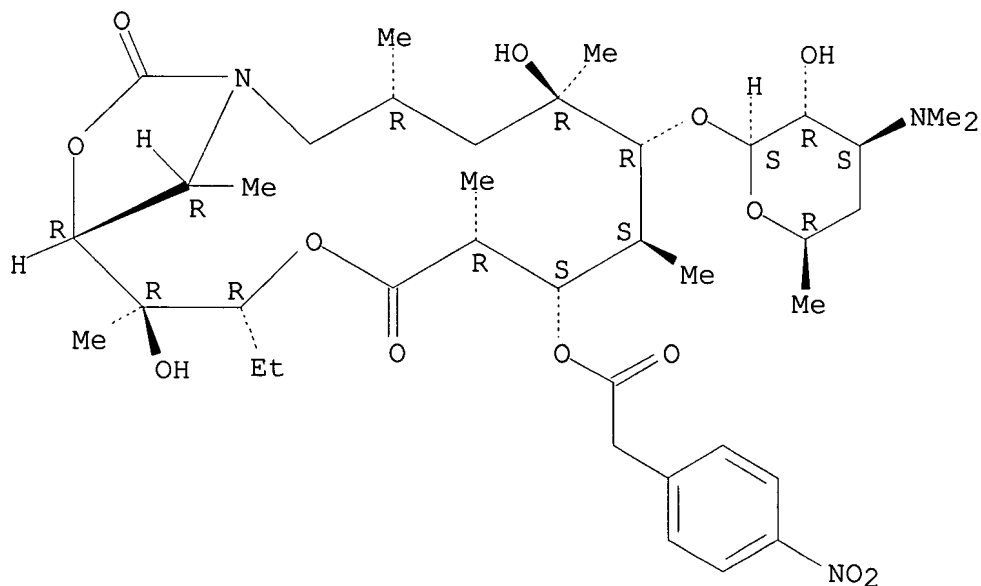
RN 675832-02-7 CAPLUS

CN Benzeneacetic acid, 4-nitro-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-

5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-
(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxo-1-
azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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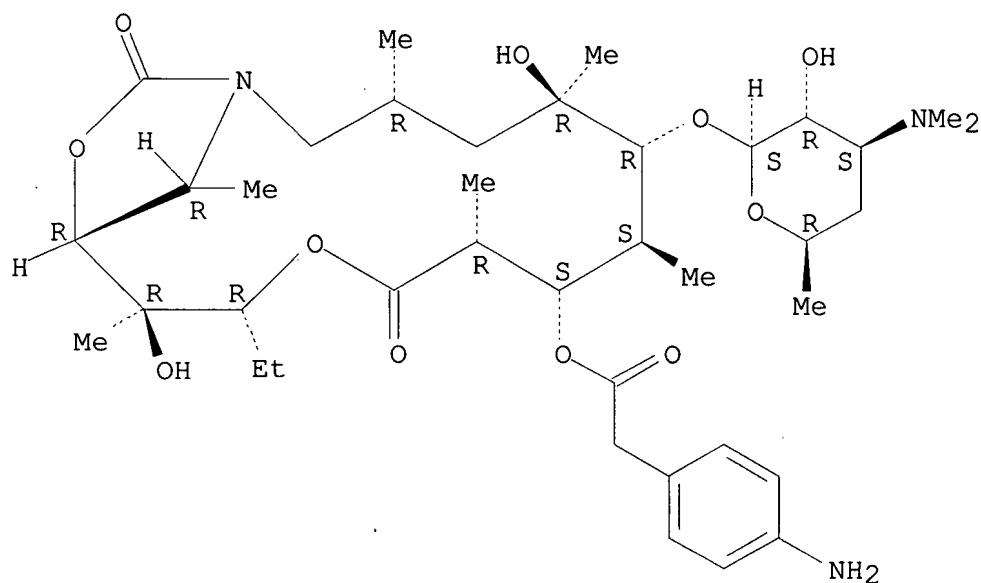


RN 675832-03-8 CAPLUS

CN Benzeneacetic acid, 4-amino-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-

5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxo-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

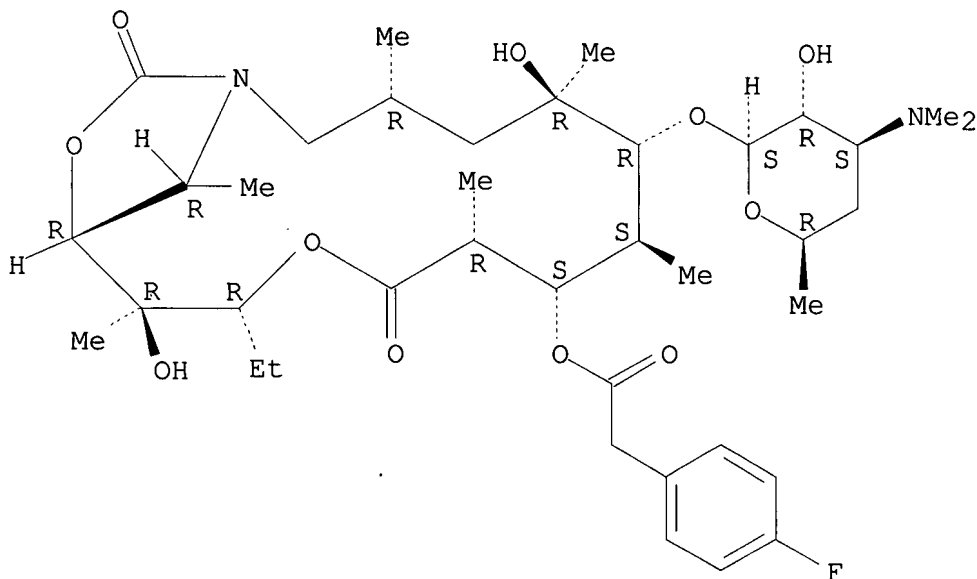


RN 675832-04-9 CAPLUS

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CN Benzeneacetic acid, 4-fluoro-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxo-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

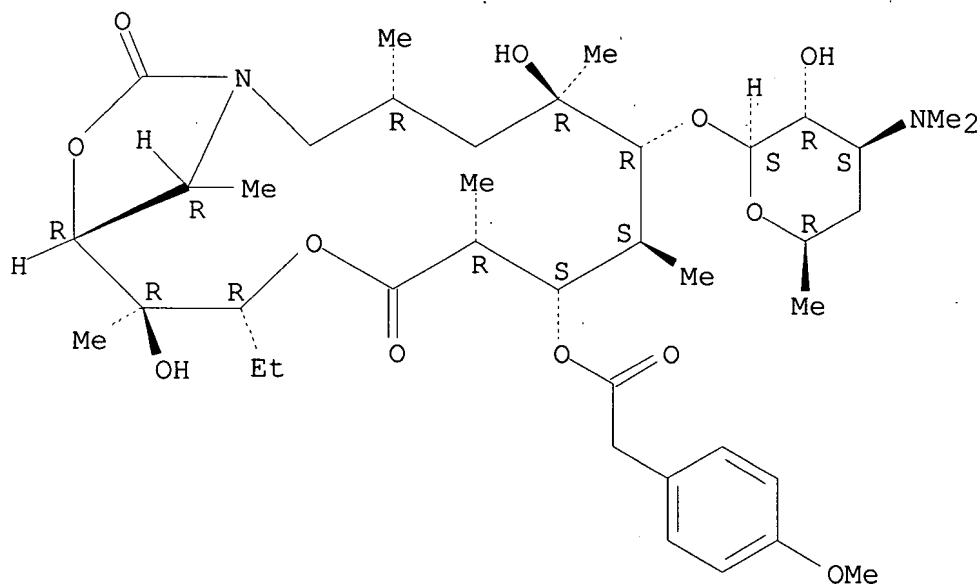


RN 675832-05-0 CAPLUS

CN Benzeneacetic acid, 4-methoxy-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxo-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

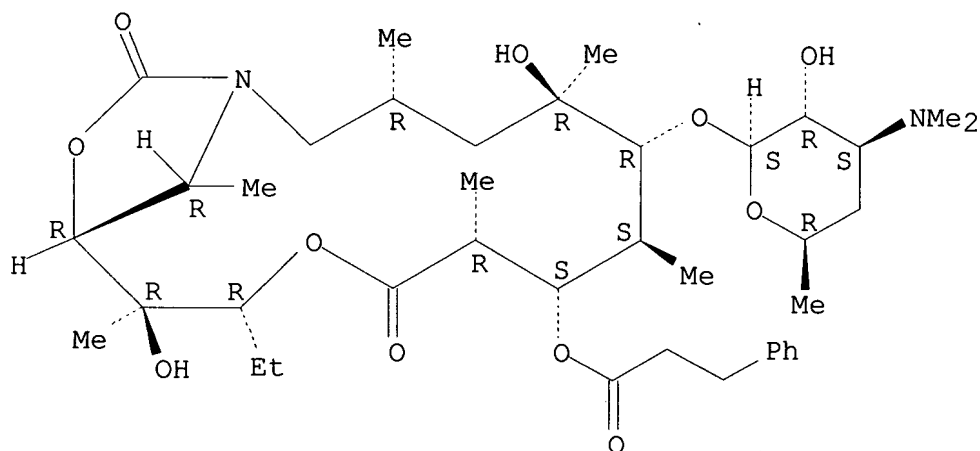
Absolute stereochemistry.

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RN 675832-06-1 CAPLUS
 CN Benzenepropanoic acid,
 (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-5,13-
 dihydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-
 (dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxa-1-
 azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

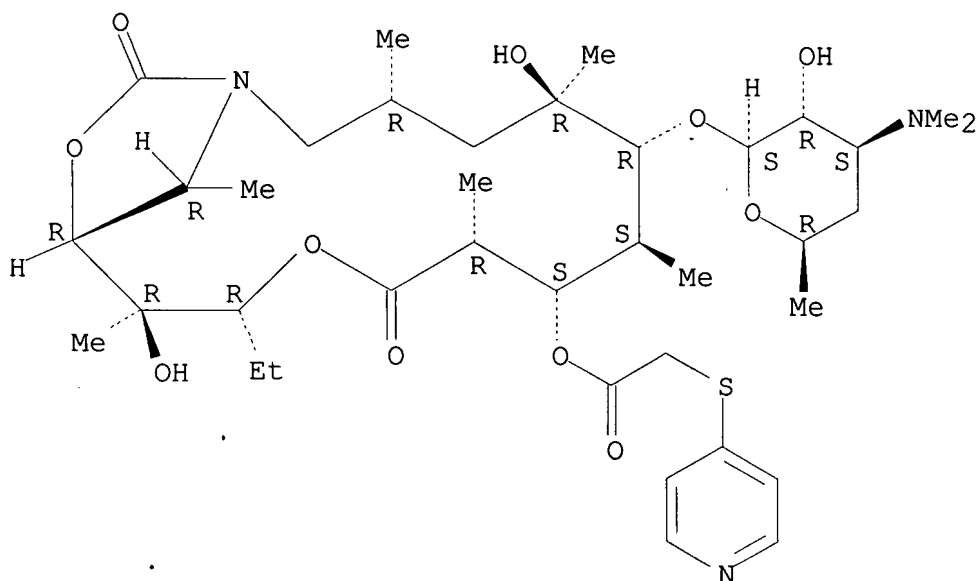
Absolute stereochemistry.



RN 675832-07-2 CAPLUS
 CN Acetic acid, (4-pyridinylthio)-,
 (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-
 ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-
 trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxa-1-
 azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

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Absolute stereochemistry.

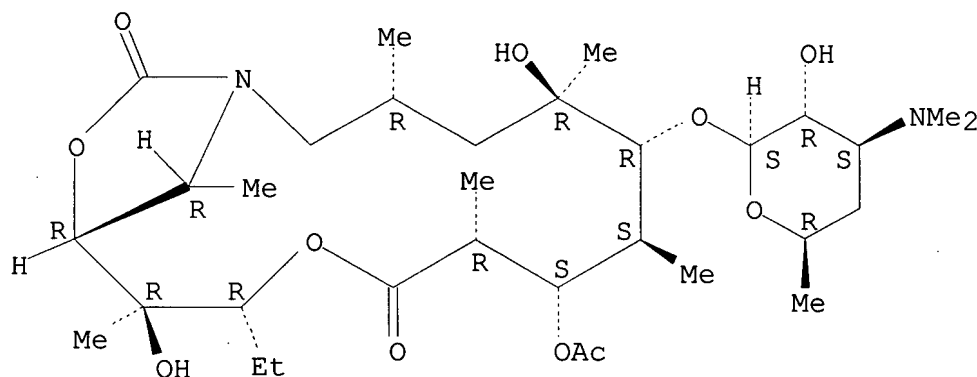


RN 675832-08-3 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,

8-(acetyloxy)-12-ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



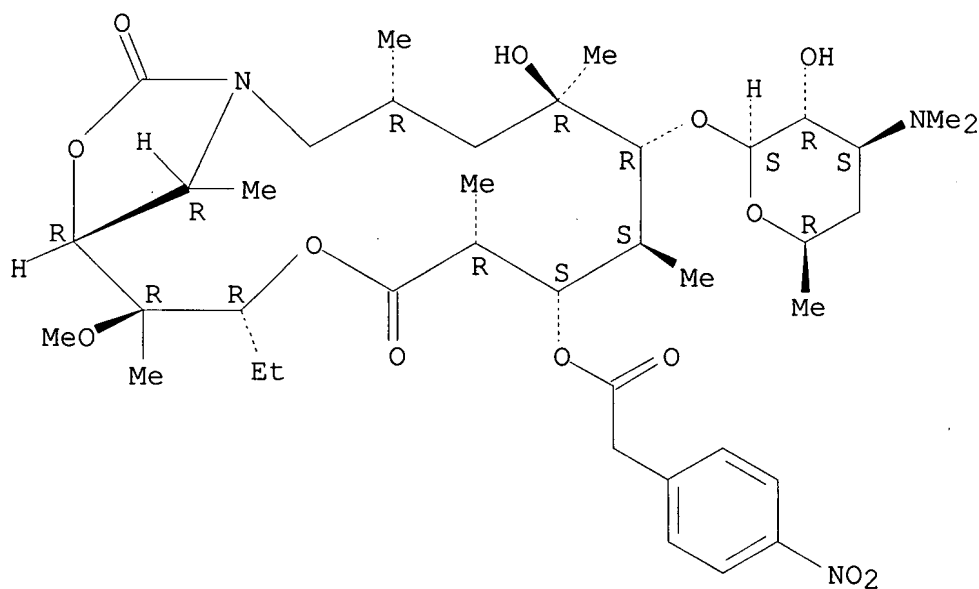
RN 675832-09-4 CAPLUS

CN Benzeneacetic acid, 4-nitro-,

(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-5-hydroxy-13-methoxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxa-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

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Absolute stereochemistry.



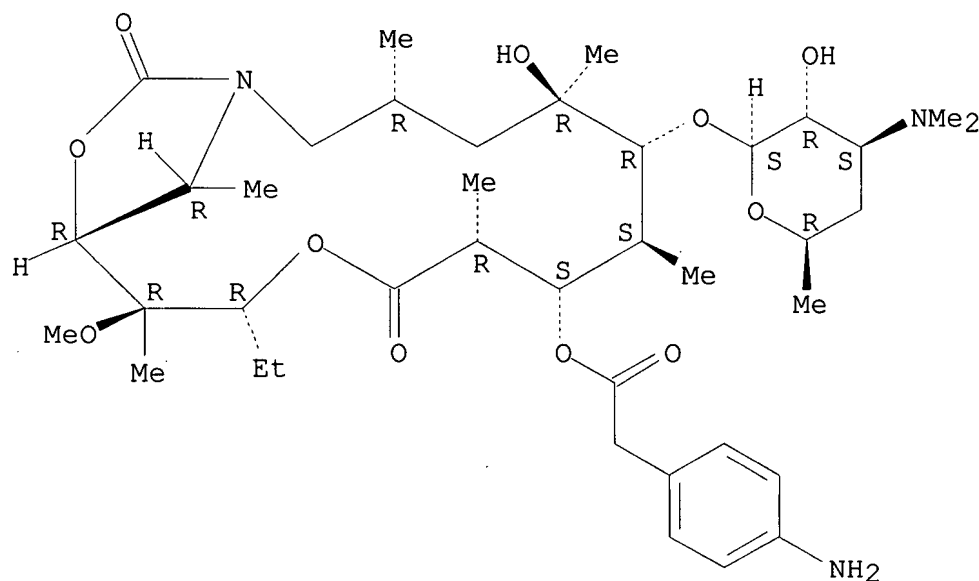
RN 675832-10-7 CAPLUS

CN Benzeneacetic acid, 4-amino-,

(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-

5-hydroxy-13-methoxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxo-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

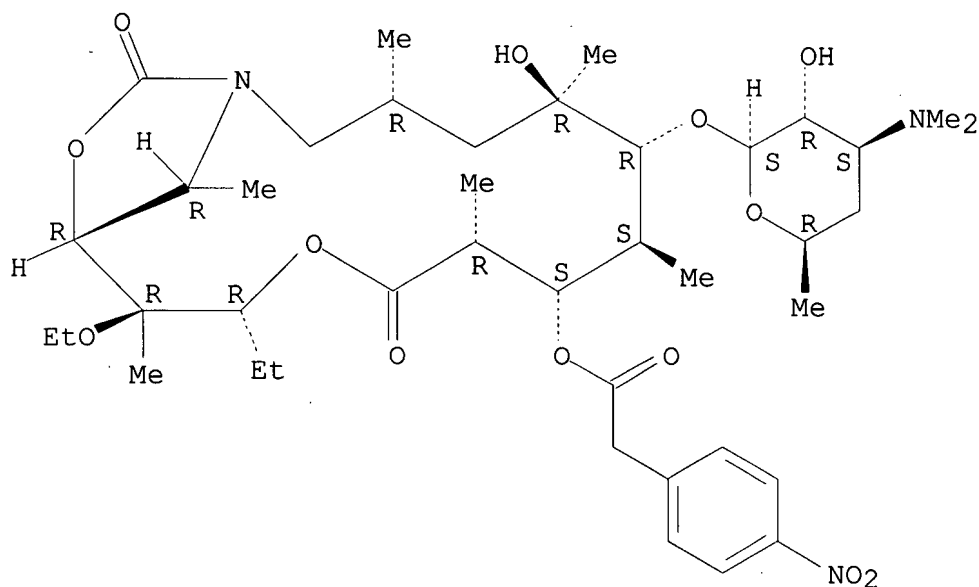


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RN 675832-11-8 CAPLUS

CN Benzeneacetic acid, 4-nitro-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-13-ethoxy-12-ethyl-5-hydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxo-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

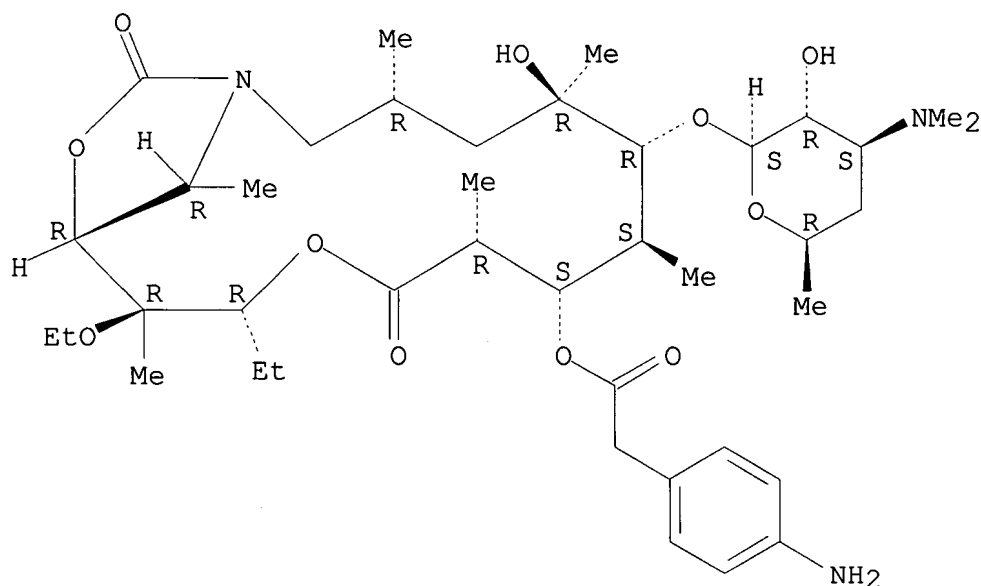


RN 675832-12-9 CAPLUS

CN Benzeneacetic acid, 4-amino-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-13-ethoxy-12-ethyl-5-hydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxo-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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IT 675831-85-3P 675831-87-5P 675831-89-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT

(Reactant or reagent)

(preparation and in vitro Gram-pos. and Gram-neg. bacteria
antibacterial

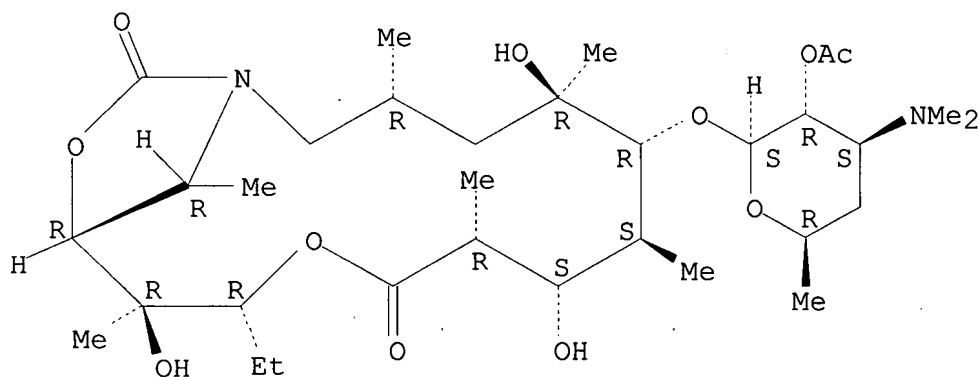
activity of 3-O-acyl derivs. of bridged-15-membered azalides)

RN 675831-85-3 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
6-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-

hexopyranosyl]oxy]-12-ethyl-5,8,13-trihydroxy-3,5,7,9,13,17-hexamethyl-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

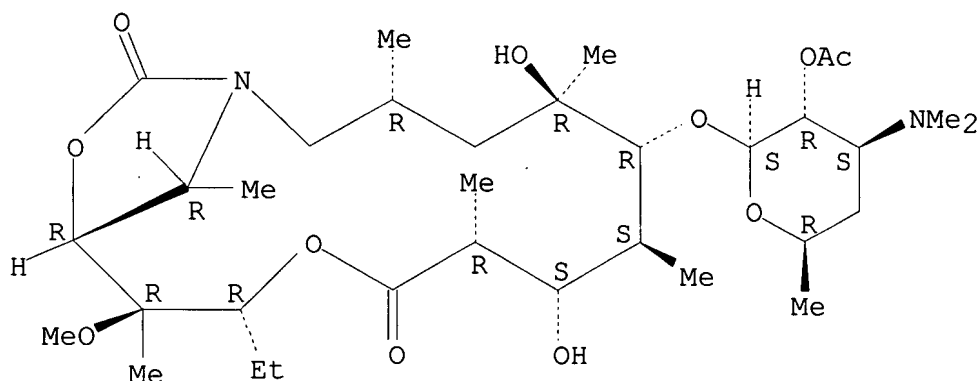


RN 675831-87-5 CAPLUS

10/527,940

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
6-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-
hexopyranosyl]oxy]-12-ethyl-5,8-dihydroxy-13-methoxy-3,5,7,9,13,17-
hexamethyl-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX
NAME)

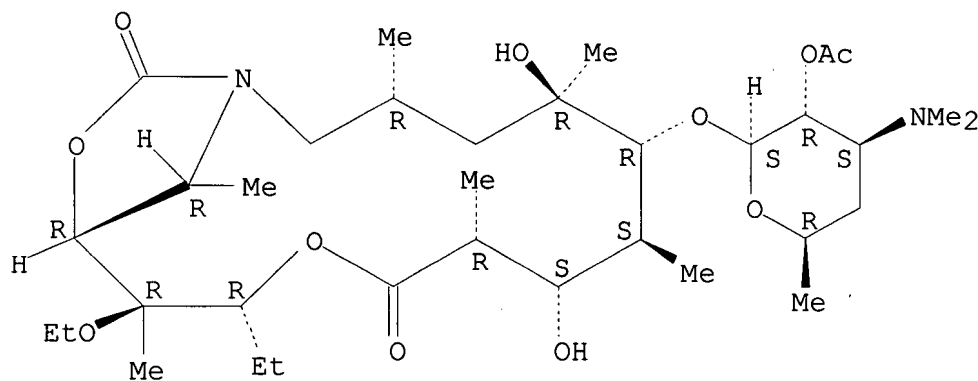
Absolute stereochemistry.



RN 675831-89-7 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
6-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-
hexopyranosyl]oxy]-13-ethoxy-12-ethyl-5,8-dihydroxy-3,5,7,9,13,17-
hexamethyl-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



AB The synthesis, structural determination and biol. evaluation of
15-membered
azalides acylated at the C-3 position are described. 3-Descladinosyl-
9a,11-cyclic carbamate of the 9a-aza-9a-homoerythromycin A and their
12-O-alkyl derivs. were synthesized via acidic hydrolysis of adequate
3-cladinosyl analogs. Protections of 2'-hydroxyl group were performed
to

10/527,940

furnish starting compds. for acylation of the C-3-hydroxyl group.
After deprotection various 3-O-acyl derivs. were obtained and their structures confirmed by spectroscopic methods (IR, MS, NMR). The new compds. were evaluated in vitro against a panel of Gram-pos. and Gram-neg. bacteria and their activities compared with those of parent derivs. The 3-O-acyl derivs. exhibited improved antibacterial activity, but it was lower than by standard macrolides.

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:287852 CAPLUS

DOCUMENT NUMBER: 140:287658

TITLE: Preparation of macrolide 3-decladinosyl
derivatives of

9-deoxo-9a-aza-9a-homo-erythromycin A 9a,11-cyclic
carbamates as potential antibiotics

INVENTOR(S): Berdik, Andrea; Kobrehel, Gabrijela; Lazarevski,
Gorjana; Mutak, Stjepan

PATENT ASSIGNEE(S): Pliva D.D., Croatia

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029067	A1	20040408	WO 2003-HR51	20030926
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003278400	A1	20040419	AU 2003-278400	20030926
EP 1543016	A1	20050622	EP 2003-769705	20030926
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006503064	T	20060126	JP 2004-539263	20030926
US 2006154878	A1	20060713	US 2005-527940	20050929

10/527,940

PRIORITY APPLN. INFO.:

HR 2002-779

A 20020927

WO 2003-HR51

W 20030926

OTHER SOURCE(S): MARPAT 140:287658

IT 675831-84-2P 675831-85-3P 675831-86-4P
675831-87-5P 675831-88-6P 675831-89-7P
675831-90-0P 675831-92-2P 675831-94-4P
675831-96-6P 675831-98-8P 675832-00-5P
675832-02-7P 675832-11-8P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of macrolide decladinosyl derivs. of deoxoahomoerythromycin a

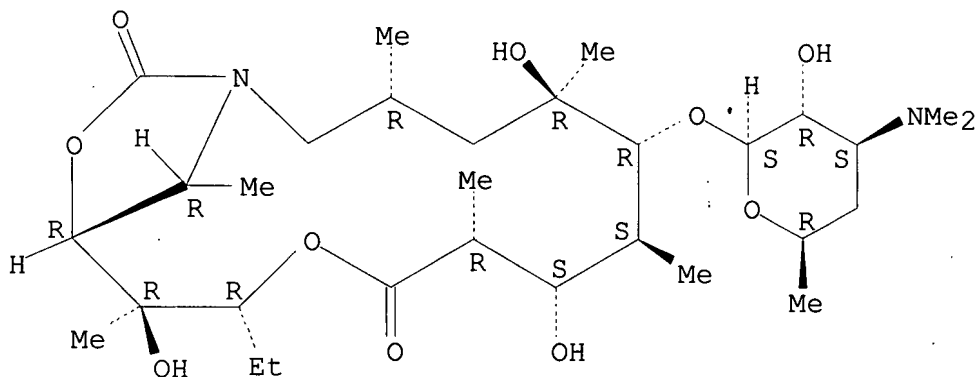
acyclic carbamates as potential antibiotics)

RN 675831-84-2 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,

12-ethyl-5,8,13-trihydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

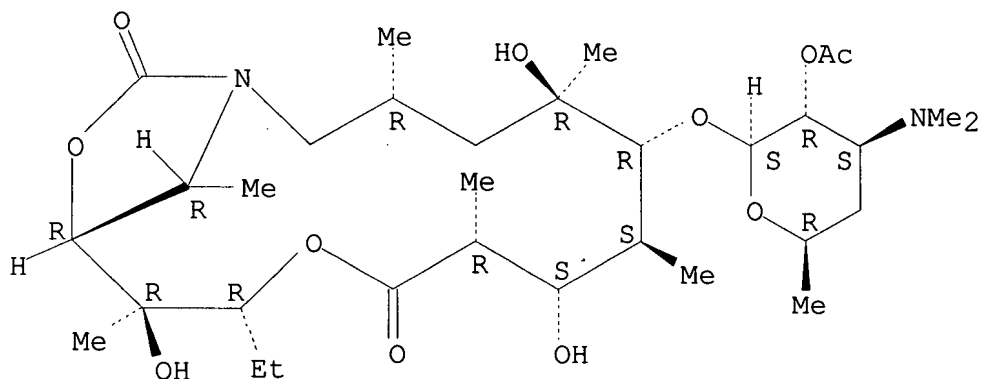


RN 675831-85-3 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
6-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-

hexopyranosyl]oxy]-12-ethyl-5,8,13-trihydroxy-3,5,7,9,13,17-hexamethyl-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

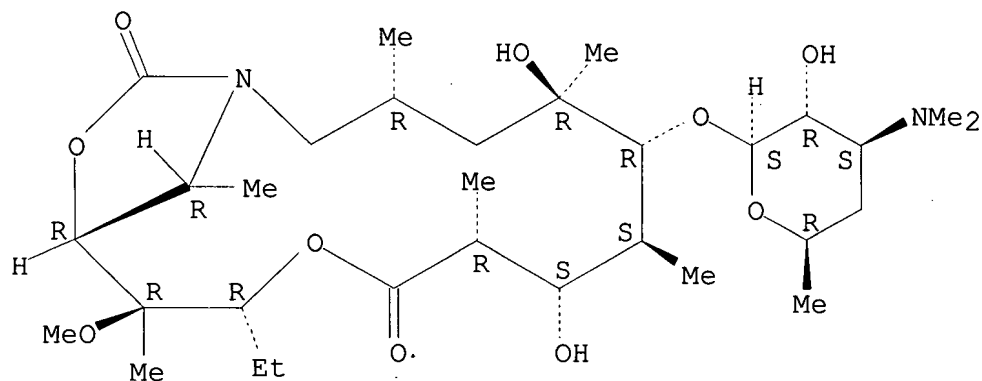
Absolute stereochemistry.



RN 675831-86-4 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
12-ethyl-5,8-dihydroxy-13-methoxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-
trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

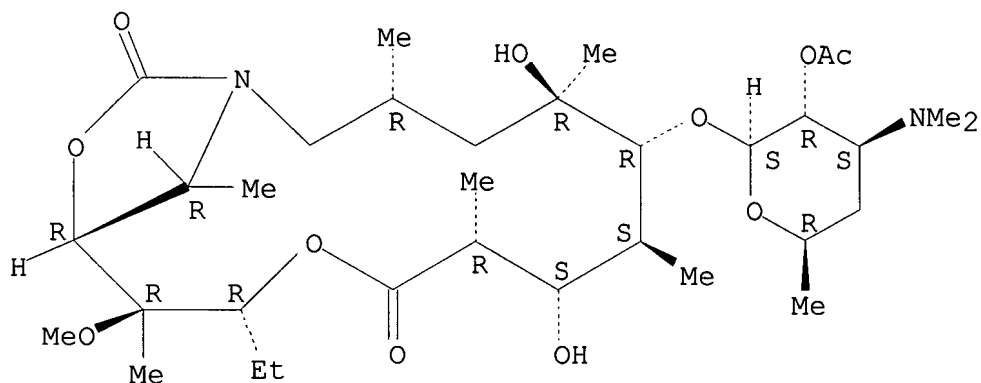


RN 675831-87-5 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
6-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-
hexopyranosyl]oxy]-12-ethyl-5,8-dihydroxy-13-methoxy-3,5,7,9,13,17-
hexamethyl-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R) - (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

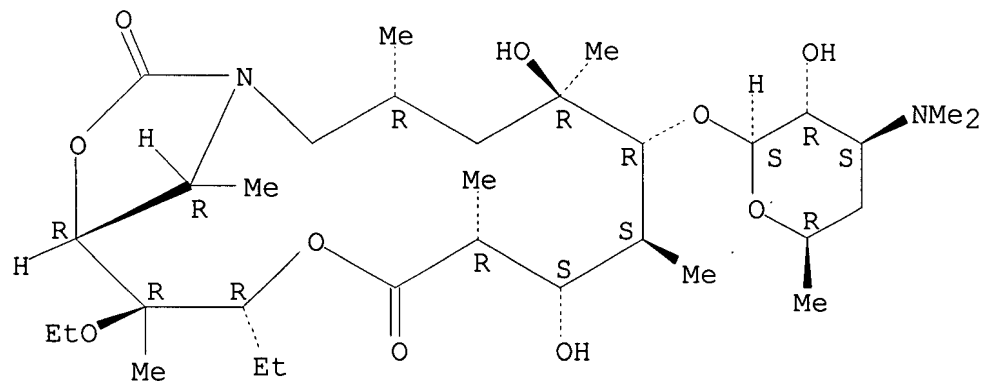
10/527,940



RN 675831-88-6 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
13-ethoxy-12-ethyl-5,8-dihydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-
trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

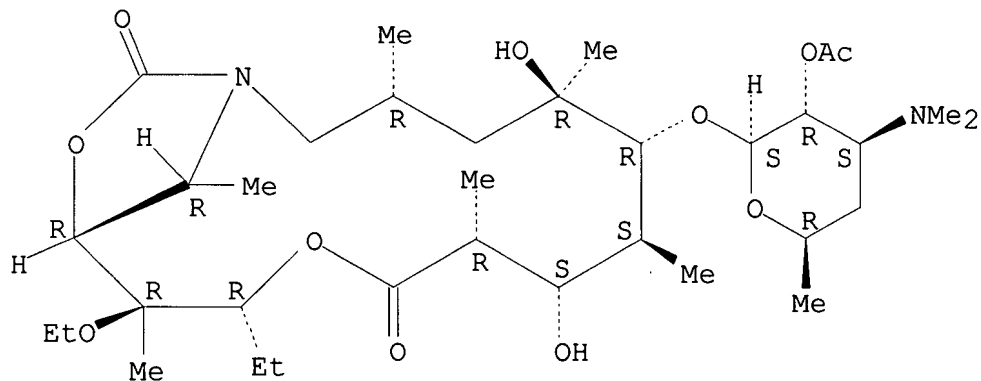


RN 675831-89-7 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
6-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-
hexopyranosyl]oxy]-13-ethoxy-12-ethyl-5,8-dihydroxy-3,5,7,9,13,17-
hexamethyl-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

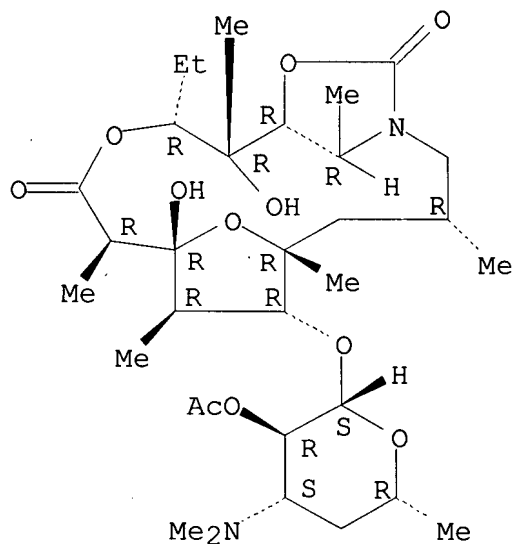
10/527,940



RN 675831-90-0 CAPLUS

CN 11,15,18-Trioxa-1-azatricyclo[12.2.1.15,8]octadecane-10,16-dione,
6-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-
hexopyranosyl]oxy]-12-ethyl-8,13-dihydroxy-3,5,7,9,13,17-hexamethyl-,
(3R,5R,6R,7R,8R,9R,12R,13R,14R,17R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



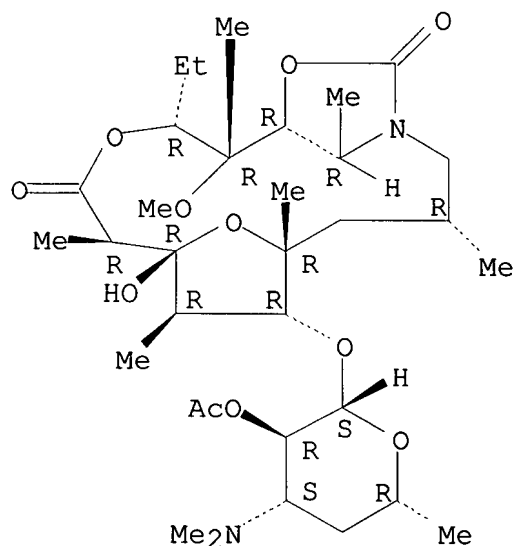
RN 675831-92-2 CAPLUS

CN 11,15,18-Trioxa-1-azatricyclo[12.2.1.15,8]octadecane-10,16-dione,
6-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-

hexopyranosyl]oxy]-12-ethyl-8-hydroxy-13-methoxy-3,5,7,9,13,17-hexamethyl-,
(3R,5R,6R,7R,8R,9R,12R,13R,14R,17R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/527,940

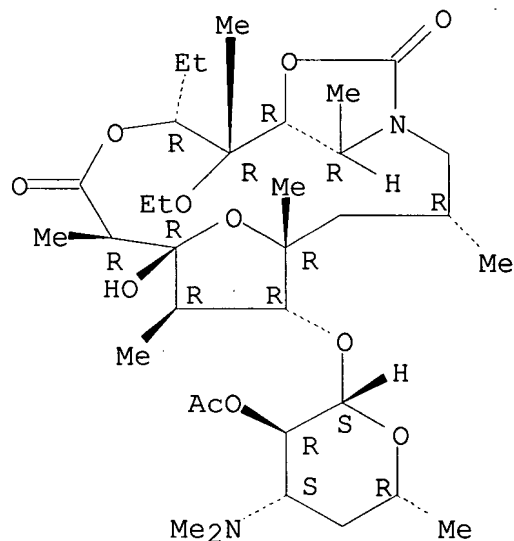


RN 675831-94-4 CAPLUS

CN 11,15,18-Trioxa-1-azatricyclo[12.2.1.15,8]octadecane-10,16-dione,
6-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-

hexopyranosyl]oxy]-13-ethoxy-12-ethyl-8-hydroxy-3,5,7,9,13,17-hexamethyl-,
(3R,5R,6R,7R,8R,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



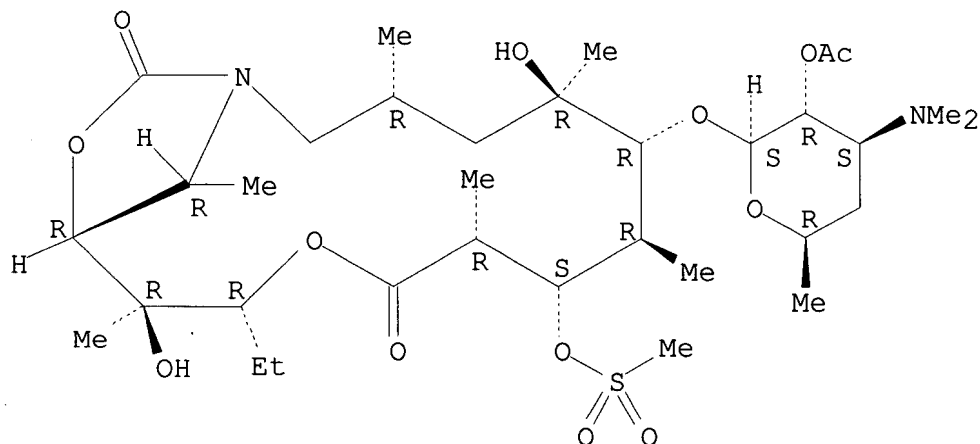
RN 675831-96-6 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
6-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-
hexopyranosyl]oxy]-12-ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-8-

10/527,940

[(methylsulfonyl)oxy]-, (3R,5R,6R,7R,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

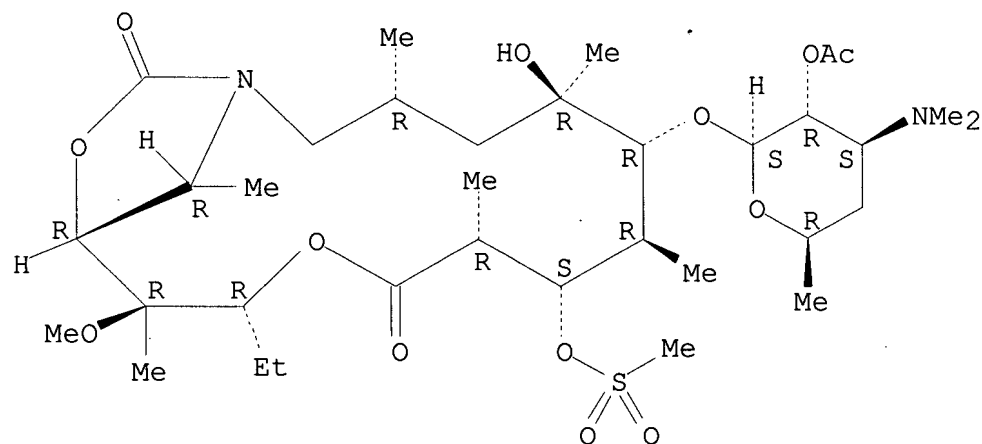


RN 675831-98-8 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
6-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-

hexopyranosyl]oxy]-12-ethyl-5-hydroxy-13-methoxy-3,5,7,9,13,17-hexamethyl-
8-[(methylsulfonyl)oxy]-, (3R,5R,6R,7R,8S,9R,12R,13R,14R,17R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 675832-00-5 CAPLUS

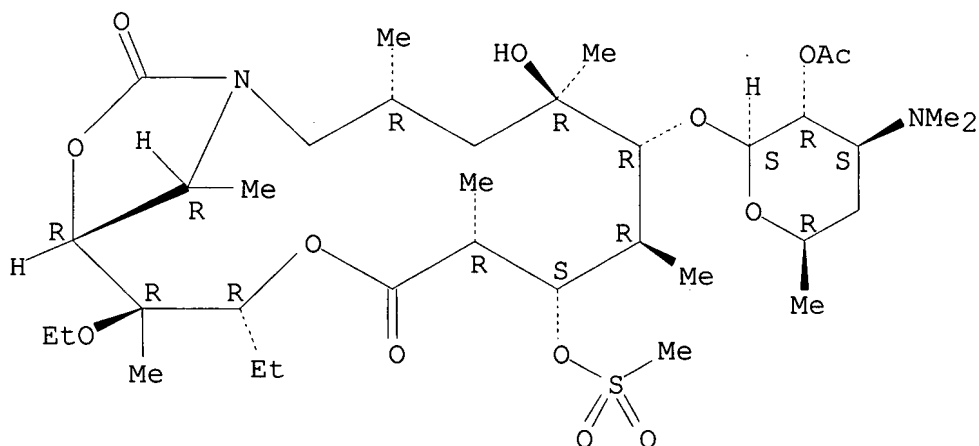
CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
6-[[2-O-acetyl-3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-

hexopyranosyl]oxy]-13-ethoxy-12-ethyl-5-hydroxy-3,5,7,9,13,17-hexamethyl-8-

10/527,940

[(methylsulfonyl)oxy]-, (3R,5R,6R,7R,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

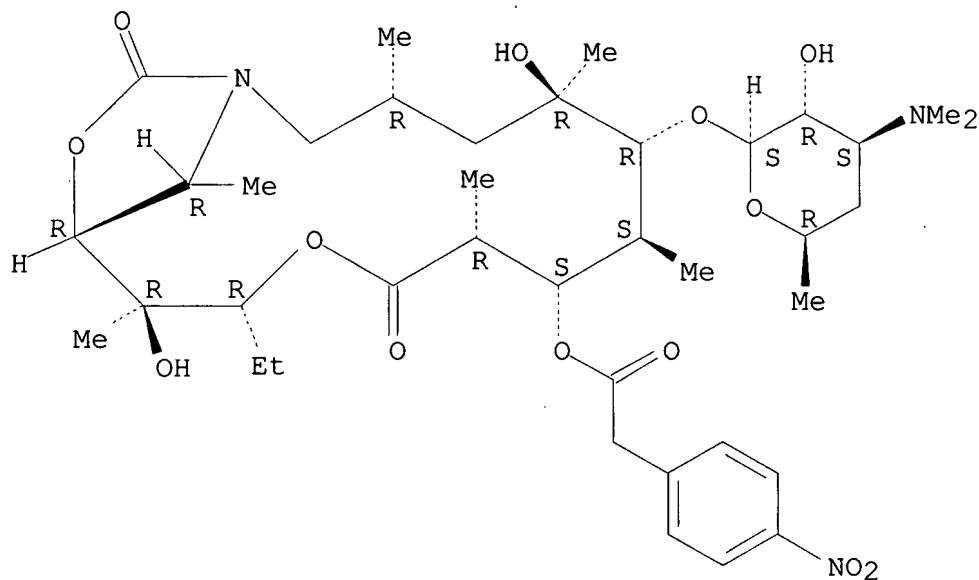


RN 675832-02-7 CAPLUS

CN Benzeneacetic acid, 4-nitro-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-

5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxo-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

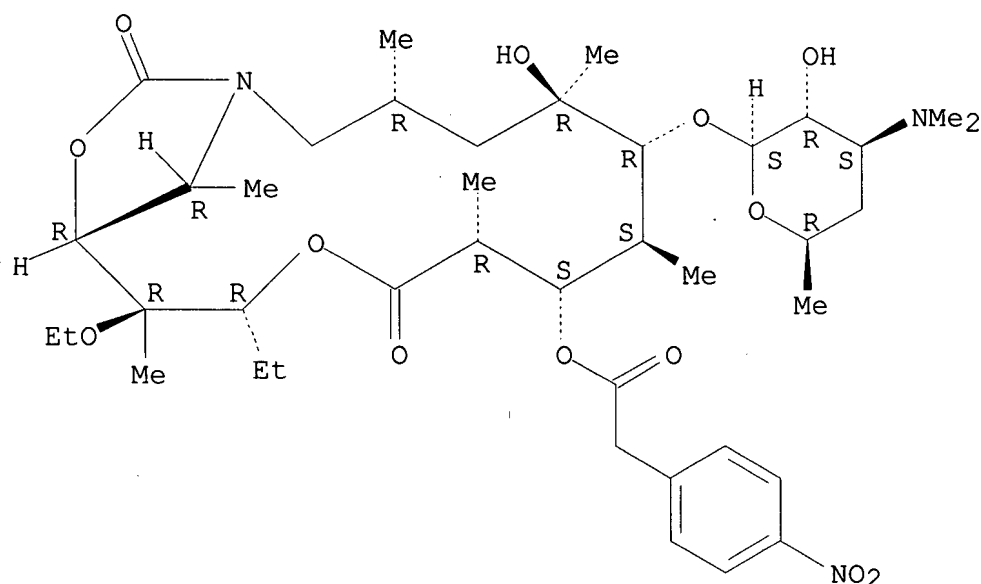


RN 675832-11-8 CAPLUS

10/527,940

CN Benzeneacetic acid, 4-nitro-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-13-ethoxy-12-ethyl-5-hydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxo-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 675831-91-1P 675831-93-3P 675831-95-5P
675831-97-7P 675831-99-9P 675832-01-6P
675832-03-8P 675832-04-9P 675832-05-0P
675832-06-1P 675832-07-2P 675832-08-3P
675832-09-4P 675832-10-7P 675832-12-9P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

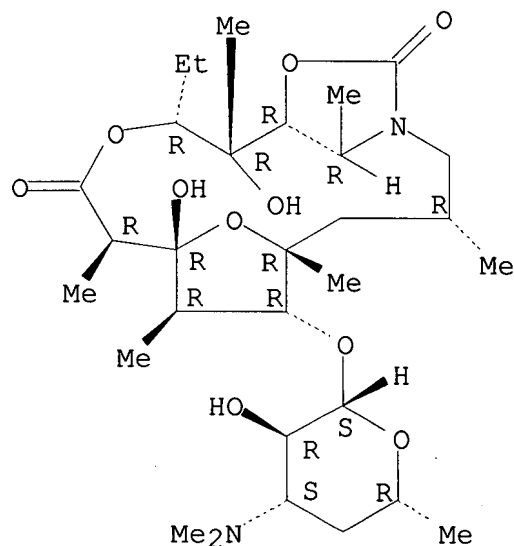
(preparation of macrolide decladinosyl derivs. of deoxoahomoerythromycin a acyclic carbamates as potential antibiotics)

RN 675831-91-1 CAPLUS

CN 11,15,18-Trioxa-1-azatricyclo[12.2.1.15,8]octadecane-10,16-dione, 12-ethyl-8,13-dihydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-, (3R,5R,6R,7R,8R,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/527,940

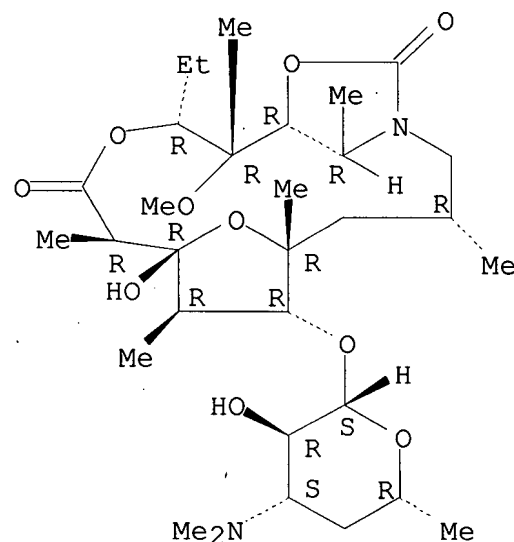


RN 675831-93-3 CAPLUS

CN 11,15,18-Trioxa-1-azatricyclo[12.2.1.15,8]octadecane-10,16-dione,

12-ethyl-8-hydroxy-13-methoxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7R,8R,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 675831-95-5 CAPLUS

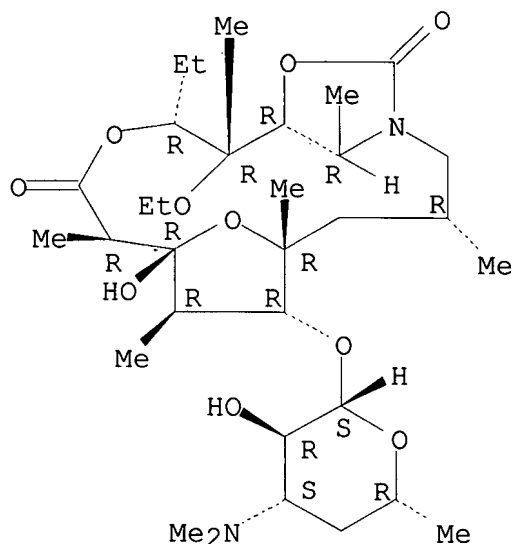
CN 11,15,18-Trioxa-1-azatricyclo[12.2.1.15,8]octadecane-10,16-dione,

13-ethoxy-12-ethyl-8-hydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-

10/527,940

(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7R,8R,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

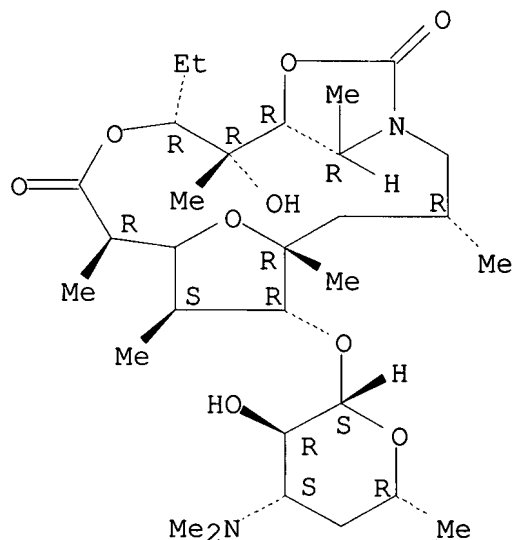
Absolute stereochemistry.



RN 675831-97-7 CAPLUS

CN 11,15,18-Trioxa-1-azatricyclo[12.2.1.15,8]octadecane-10,16-dione,
12-ethyl-13-hydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-
(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

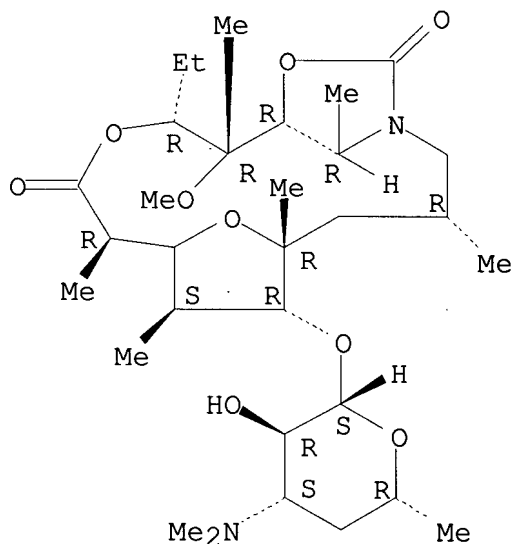


RN 675831-99-9 CAPLUS

10/527,940

CN 11,15,18-Trioxa-1-azatricyclo[12.2.1.15,8]octadecane-10,16-dione,
12-ethyl-13-methoxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-
(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

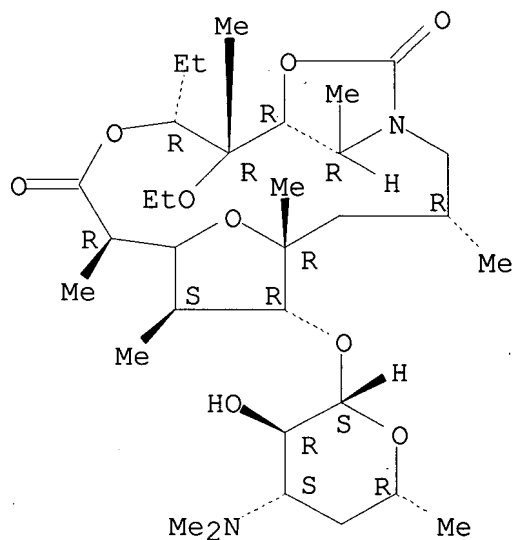
Absolute stereochemistry.



RN 675832-01-6 CAPLUS

CN 11,15,18-Trioxa-1-azatricyclo[12.2.1.15,8]octadecane-10,16-dione,
13-ethoxy-12-ethyl-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-
(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



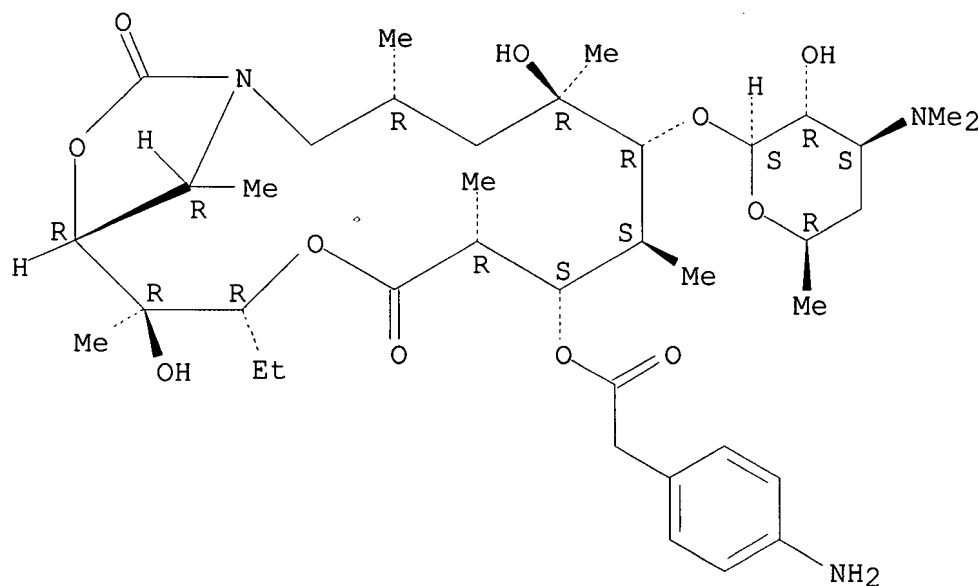
10/527,940

RN 675832-03-8 CAPLUS

CN Benzeneacetic acid, 4-amino-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-

5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxo-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

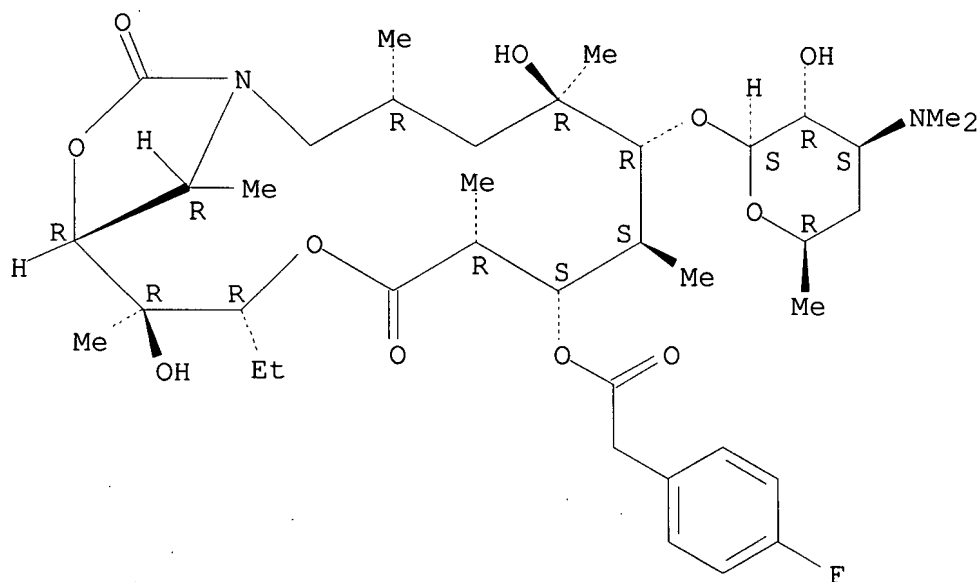


RN 675832-04-9 CAPLUS

CN Benzeneacetic acid, 4-fluoro-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxo-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

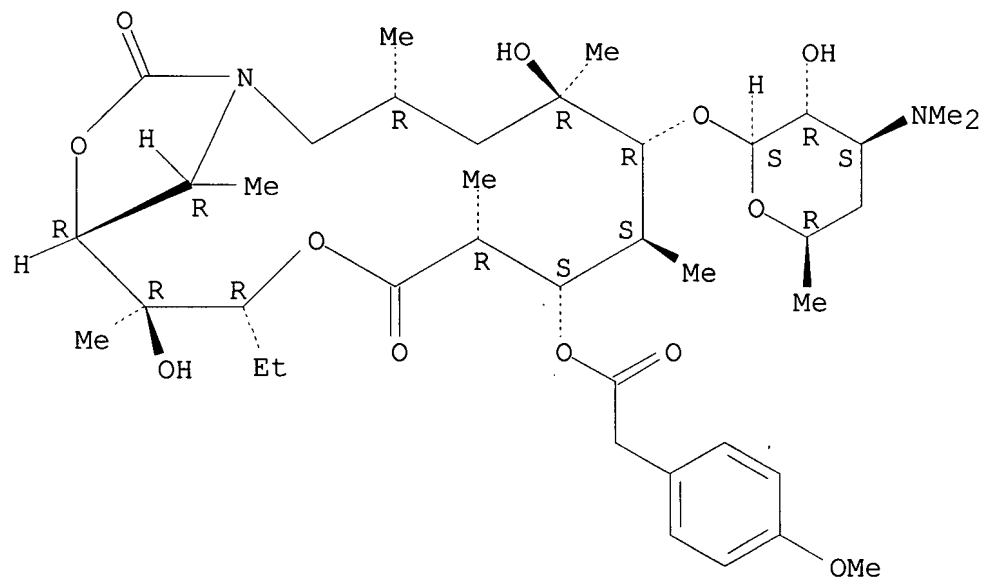
10/527,940



RN 675832-05-0 CAPLUS

CN Benzeneacetic acid, 4-methoxy-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-11,15-dioxo-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



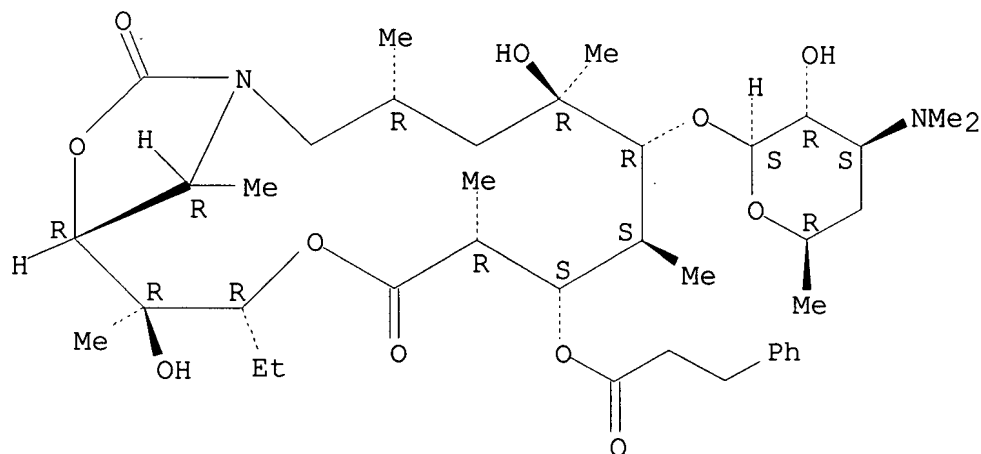
RN 675832-06-1 CAPLUS

CN Benzenepropanoic acid, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-5,13-

10/527,940

dihydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxo-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

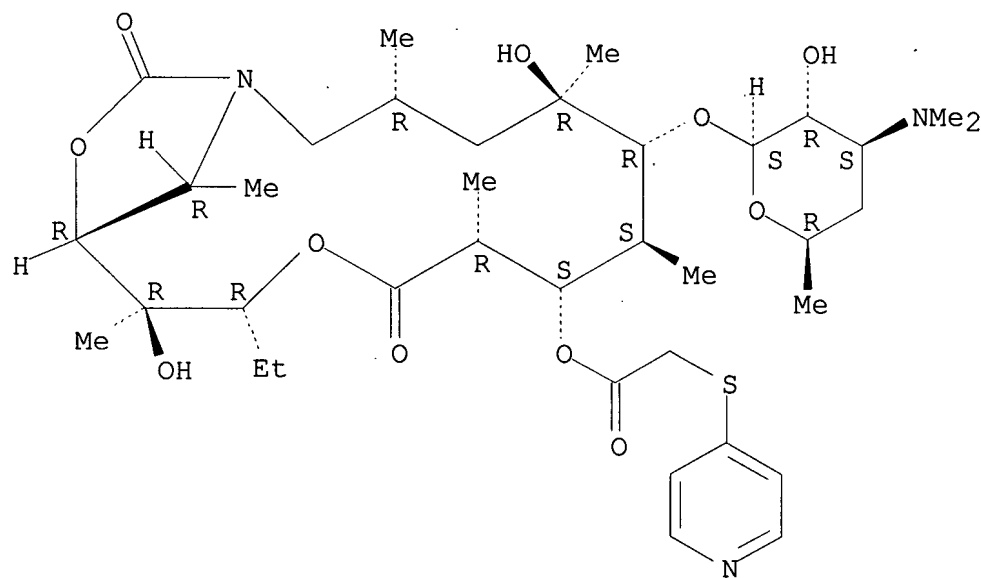
Absolute stereochemistry.



RN 675832-07-2 CAPLUS

CN Acetic acid, (4-pyridinylthio)-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxo-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



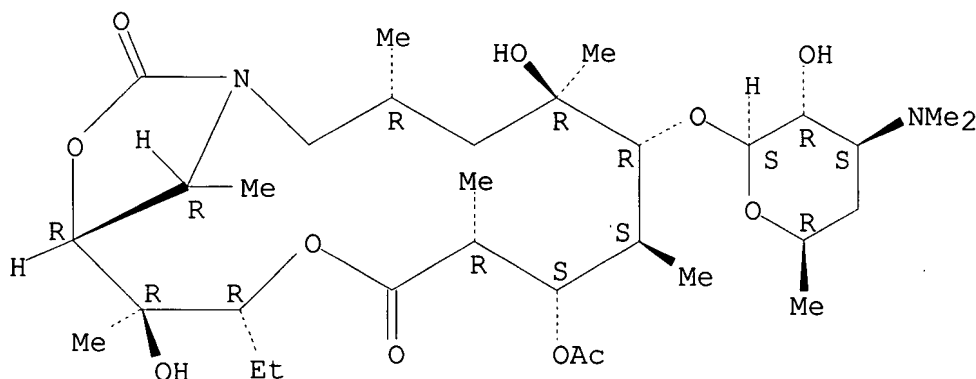
RN 675832-08-3 CAPLUS

10/527,940

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,

8-(acetyloxy)-12-ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

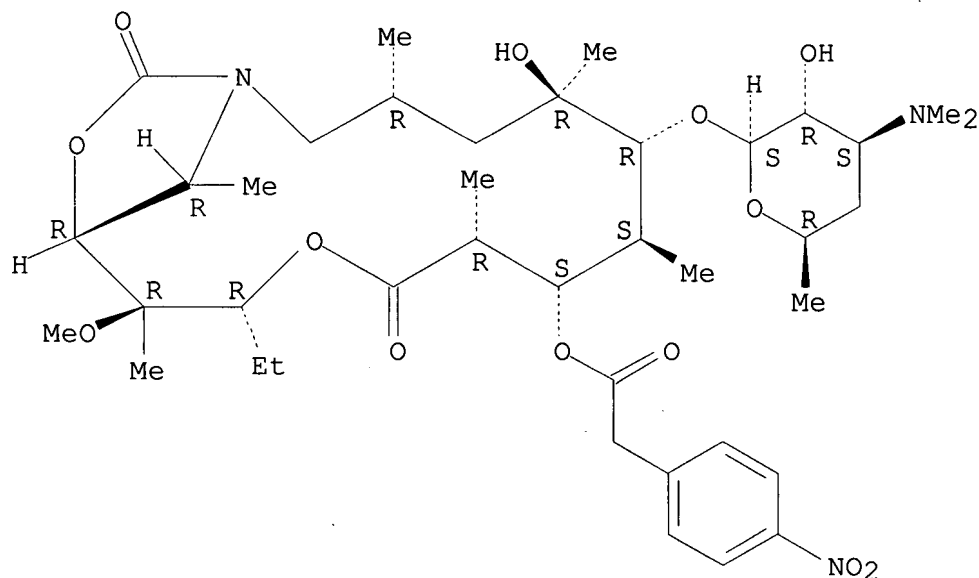


RN 675832-09-4 CAPLUS

CN Benzeneacetic acid, 4-nitro-,

(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-5-hydroxy-13-methoxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxa-1-azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

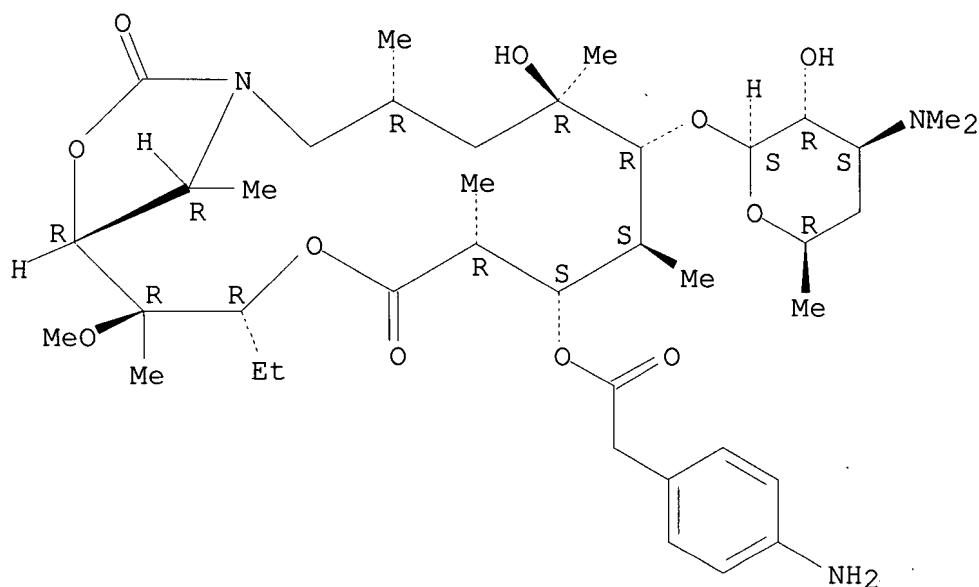


RN 675832-10-7 CAPLUS

10/527,940

CN Benzeneacetic acid, 4-amino-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-12-ethyl-
5-hydroxy-13-methoxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-
trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxa-1-
azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

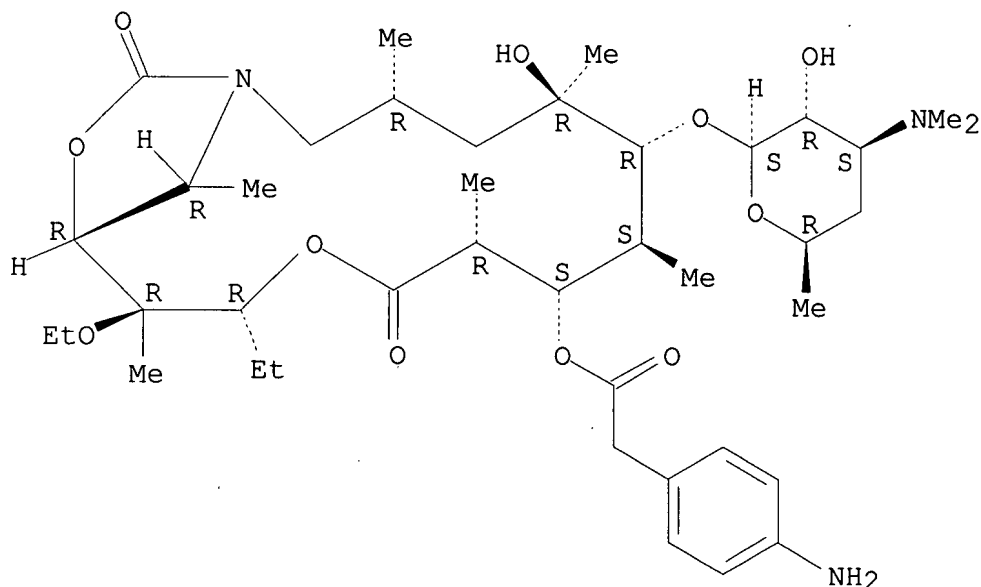
Absolute stereochemistry.



RN 675832-12-9 CAPLUS

CN Benzeneacetic acid, 4-amino-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)-13-
ethoxy-12-ethyl-5-hydroxy-3,5,7,9,13,17-hexamethyl-10,16-dioxo-6-[[3,4,6-
trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-11,15-dioxa-1-
azabicyclo[12.2.1]heptadec-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 152344-27-9 675832-14-1 675832-15-2

675832-16-3 675832-17-4

RL: RCT (Reactant); RACT (Reactant or reagent)

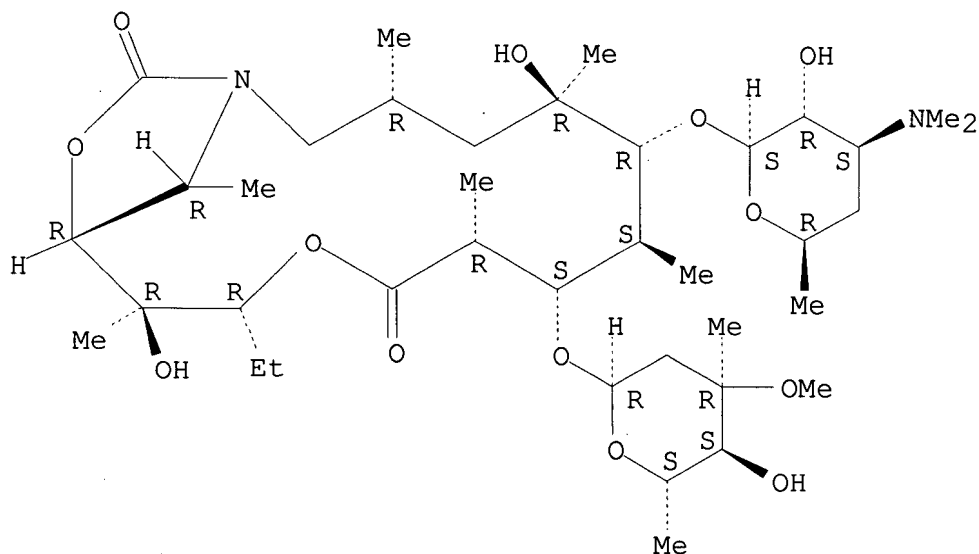
(preparation of macrolide decladinosyl derivs. of
deoxoahomoerythromycin a
acyclic carbamates as potential antibiotics)

RN 152344-27-9 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-12-
ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-
(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

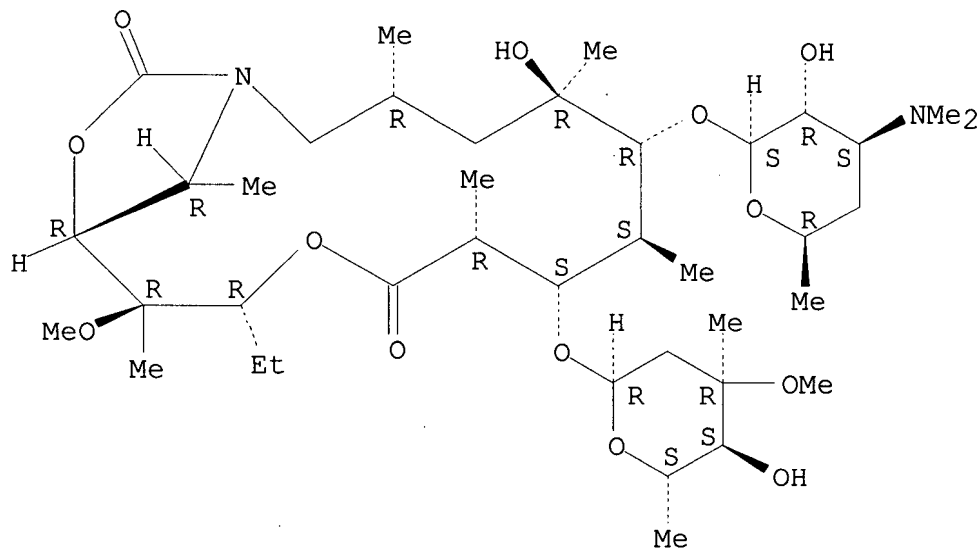
10/527,940



RN 675832-14-1 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-12-
ethyl-5-hydroxy-13-methoxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-
(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



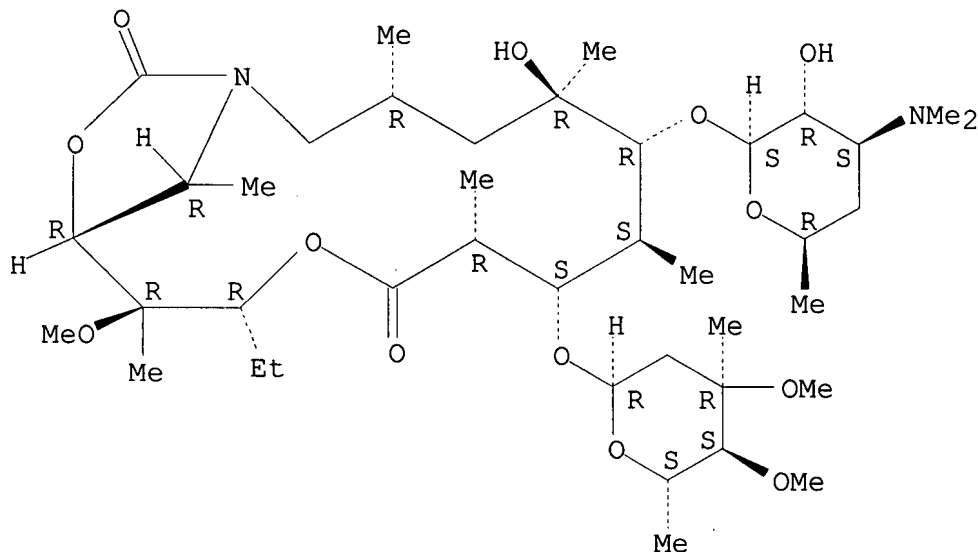
RN 675832-15-2 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3,4-di-O-methyl- α -L-ribo-

10/527,940

hexopyranosyl)oxy]-12-ethyl-5-hydroxy-13-methoxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

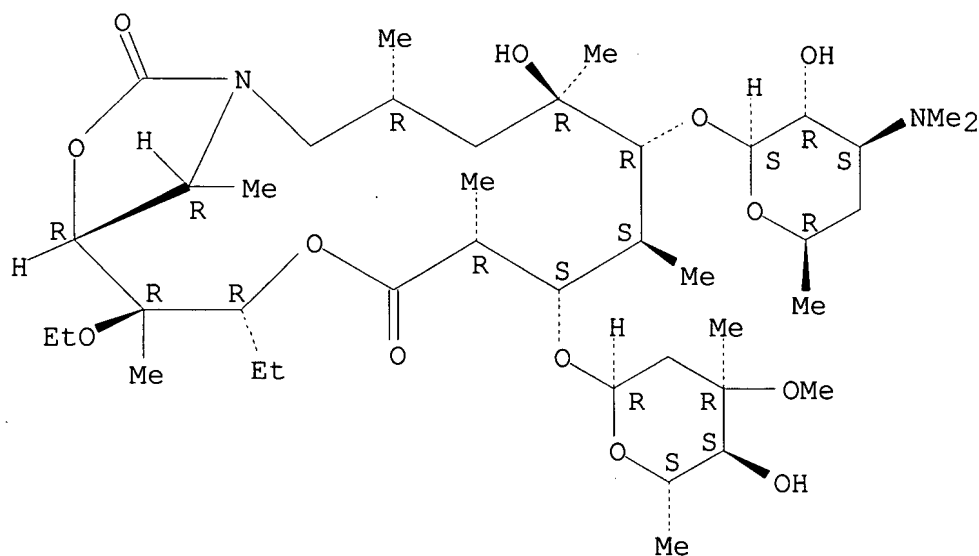


RN 675832-16-3 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione, 8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-13-ethoxy-12-ethyl-5-hydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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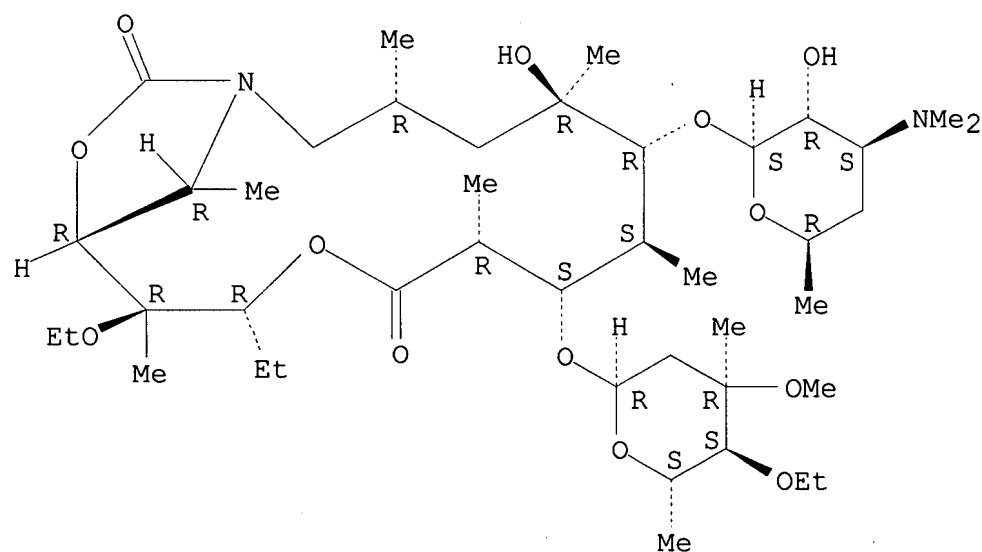


RN 675832-17-4 CAPLUS

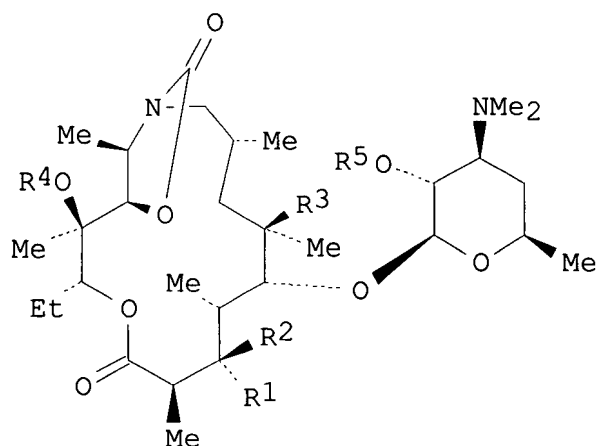
CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-4-O-ethyl-3-C-methyl-3-O-methyl- α -L-ribo-

hexopyranosyl)oxy]-13-ethoxy-12-ethyl-5-hydroxy-3,5,7,9,13,17-hexamethyl-6-
[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB 3-Decladinosyl derivs. of 9-deoxo-9a-aza-9a-homo-erythromycin A
9a,11-cyclic carbamate I; wherein R1 is H, OH, ester; R1 together with
R2

stands for ketone; R2 individually stands for H or together with R1
stands

for ketone or together with R3 stands for ether; R3 individually stands
for OH, ether, together with R2 stands for ether; R4 individually
stands

for H, C1-C4-alkyl group or C2-C4-alkenyl group; and R5 individually
stands for H or OH protected group, to intermediates for synthesis of
other macrolide compds. Thus,

3-decyladinosyl-3-O-(4-aminophenyl)acyl-12-
O-ethyl-9-deoxo-9-dihydro-9a-aza-9a-homo-erythromycin A 9a,11-cyclic
carbamate was prepared as potential antibiotic.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR
THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:912580 CAPLUS

DOCUMENT NUMBER: 124:75519

TITLE: A comparative NMR and molecular-modeling study
among

some macrolides and azalides with different
antibacterial properties

AUTHOR(S): Lazarevski, Gorjana; Vinkovic, Mladen; Kobrehel,
Gabrijela; Kelneric, Zeljko; Dokic, Slobodan;

Metelko,

Biserka

CORPORATE SOURCE: Food and Cosmetic Industry, PLIVA, Zagreb, Croatia
SOURCE: Infectious Disease and Therapy (1995), 18(New

Clinical

Macrolides, Azalides, and Streptogramins in

Practice), 203-11

CODEN: IDTHER; ISSN: 1043-2981

PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English

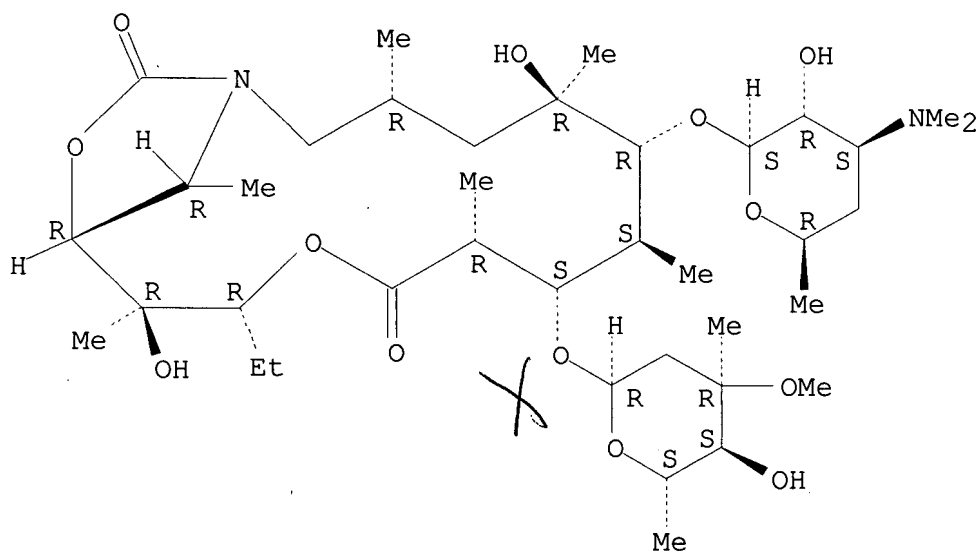
IT 152344-27-9

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(a comparative NMR and mol.-modeling study among some macrolides and azalides with different antibacterial properties)

RN 152344-27-9 CAPLUS

CN 11,15-Dioxo-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-12-ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-, (3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB No simple correlation between solution state, conformational behavior, and antibacterial activity for azalides 1-6 was found. However, the less active compds. 1, 2, and 6 exist in solution exclusively in one of the two types of C-3/C-5 conformations. Azalides with a monocyclic aglycon ring were superior to bicyclic ring azalides in activity, which is in agreement with the erythromycin series (8). In contrast with the other macrolide antibiotics, the conformational study has shown that azithromycin in solution does not retain its crystal state conformation. Each of the newer

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semisynthetic macrolides, particularly azithromycin, has a characteristic pharmacokinetic profile that differs from the others, rather than in mechanism of action and antimicrobial spectrum. Therefore, other factors (lipid solubility, pH-partition, degrdns. and transformations, interaction with hepatic enzymes, metabolic pathways, and such) should also be studied to explain some of significant differences in biol. properties among them.

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:656237 CAPLUS

DOCUMENT NUMBER: 121:256237

TITLE: 9-Deoxo-9a-aza-11-deoxy-9a-homoerythromycin A
9a,11-cyclic carbamates

INVENTOR(S): Kobrehel, Gabrijela; Lazarevski, Gorjana; Djokic, Slobodan

PATENT ASSIGNEE(S): Pliva Farmaceutska Kemijska Prehrambena i
Kozmeticka

SOURCE: Industrija Dionicko Drustvo, Croatia
Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 606062	A1	19940713	EP 1994-100032	19940103
EP 606062	B1	19960410		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, PT, SE				
AT 136550	T	19960415	AT 1994-100032	19940103
ES 2089863	T3	19961001	ES 1994-100032	19940103
JP 07089980	A	19950404	JP 1994-613	19940107
JP 3056035	B2	20000626		
US 5434140	A	19950718	US 1994-178559	19940107
PRIORITY APPLN. INFO.:			HR 1993-14	A 19930108

OTHER SOURCE(S): MARPAT 121:256237

IT 152344-25-7P 152369-77-2P 152369-78-3P

RL: BAC (Biological activity or effector, except adverse); BSU
(Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)

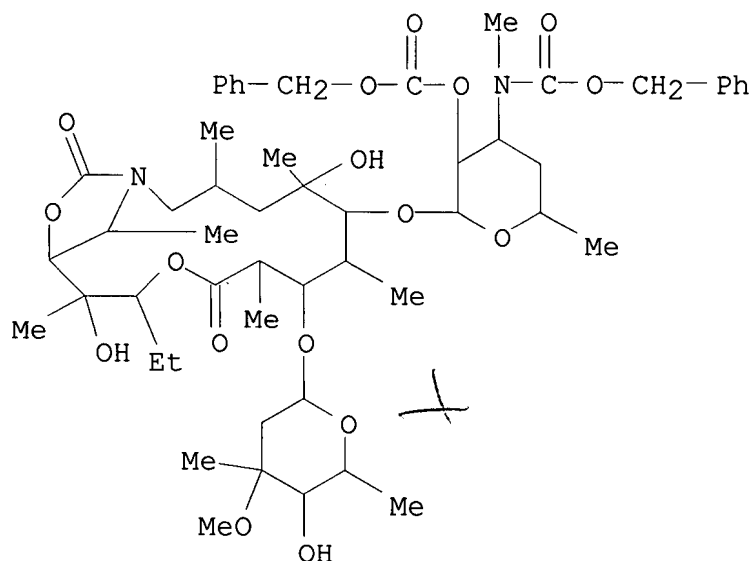
(preparation of deoxoazadeoxyhomoerythromycin A cyclic carbamates as
antibacterials)

RN 152344-25-7 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-12-

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ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-[methyl[(phenylmethoxy)carbonyl]amino]-2-O-[(phenylmethoxy)carbonyl]-β-D-xylo-hexopyranosyl]oxy]-, [3R-(3R*,5R*,6R*,7S*,8S*,9R*,12R*,13R*,14R*,17R*)]- (9CI) (CA INDEX NAME)

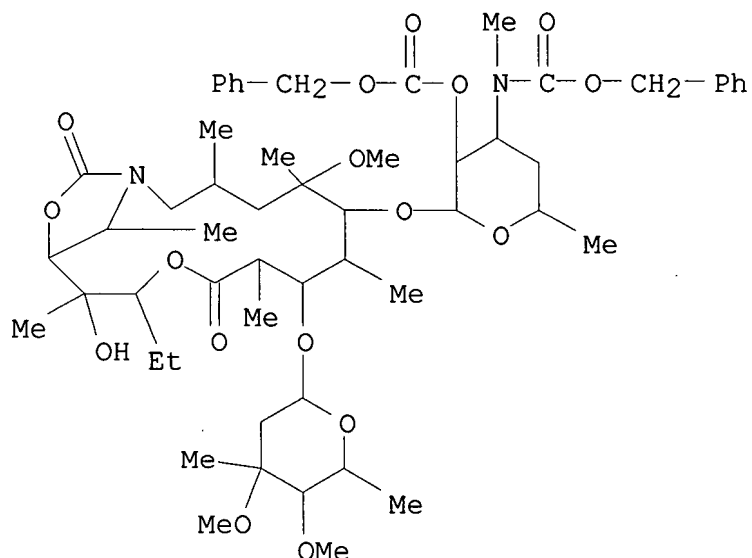


RN 152369-77-2 CAPLUS

CN 11,15-Dioxo-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3,4-di-O-methyl-α-L-ribo-

hexopyranosyl]oxy]-12-ethyl-13-hydroxy-5-methoxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-[methyl[(phenylmethoxy)carbonyl]amino]-2-O-[(phenylmethoxy)carbonyl]-β-D-xylo-hexopyranosyl]oxy]-, [3R-(3R*,5R*,6R*,7S*,8S*,9R*,12R*,13R*,14R*,17R*)]- (9CI) (CA INDEX NAME)

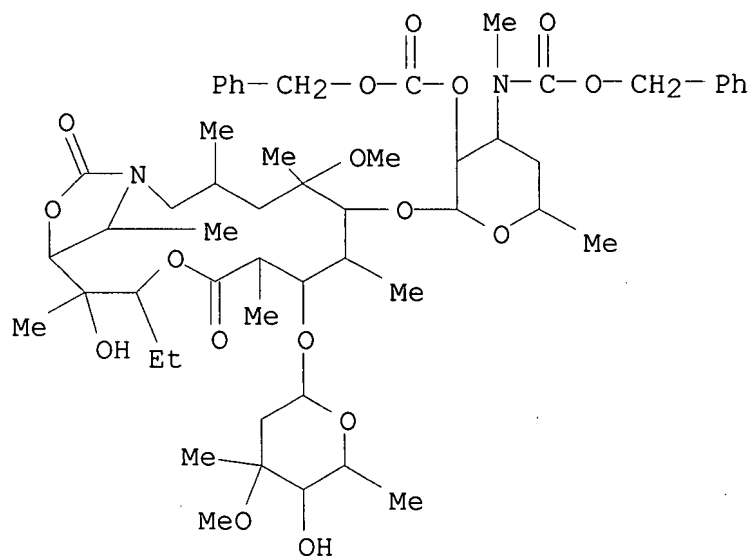
10/527,940



RN 152369-78-3 CAPLUS

CN 11,15-Dioxo-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-12-

ethyl-13-hydroxy-5-methoxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-
methyl[(phenylmethoxy)carbonyl]amino]-2-O-[(phenylmethoxy)carbonyl]-
β-D-xylo-hexopyranosyl]oxy]-, [3R-(3R*,5R*,6R*,7S*,8S*,9R*,12R*,13R*,
14R*,17R*)]- (9CI) (CA INDEX NAME)

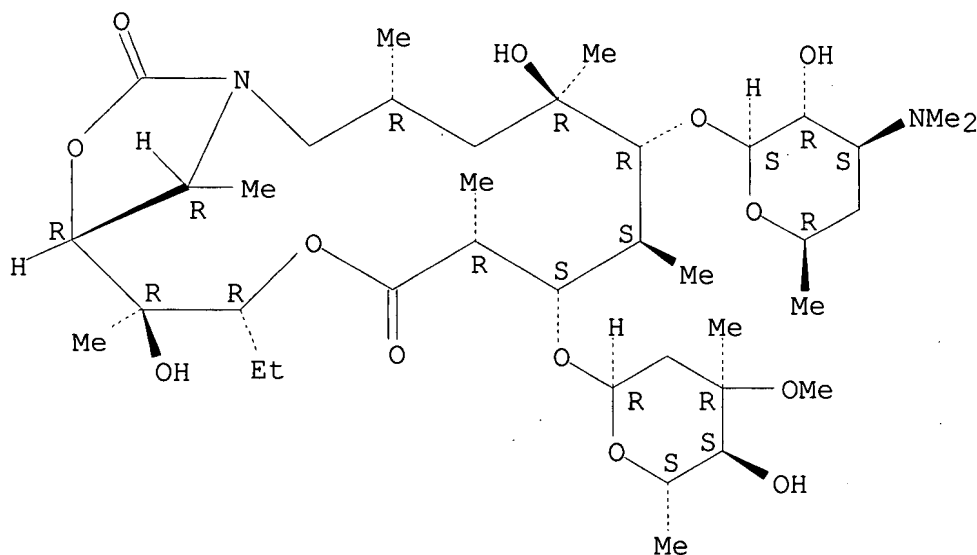


IT 152344-27-9P 152369-81-8P 158500-47-1P

10/527,940

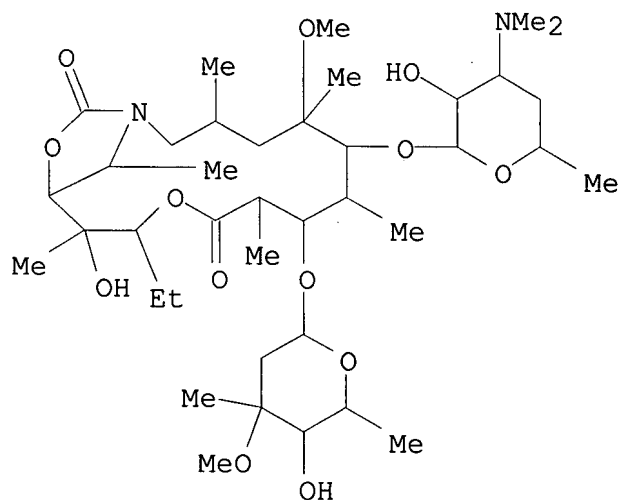
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic
use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of deoxoazadeoxyhomoerythromycin A cyclic carbamates as
antibacterials)
RN 152344-27-9 CAPLUS
CN 11,15-Dioxo-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-12-
ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-
(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry:



RN 152369-81-8 CAPLUS
CN 11,15-Dioxo-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-12-
ethyl-13-hydroxy-5-methoxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-
(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-, [3R-
(3R*,5R*,6R*,7S*,8S*,9R*,12R*,13R*,14R*,17R*)]- (9CI) (CA INDEX NAME)

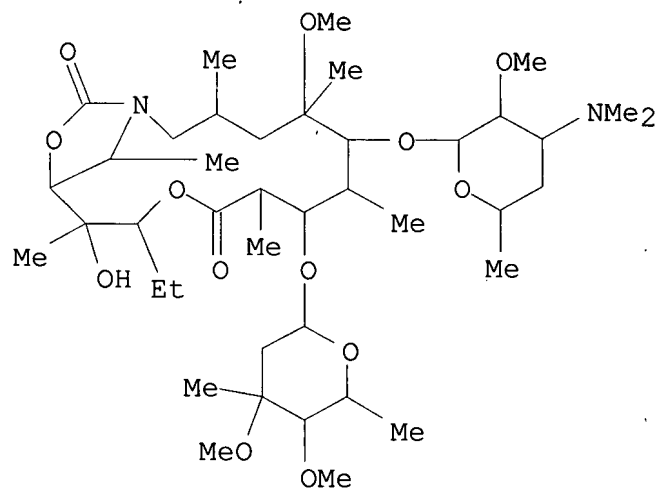
10/527,940



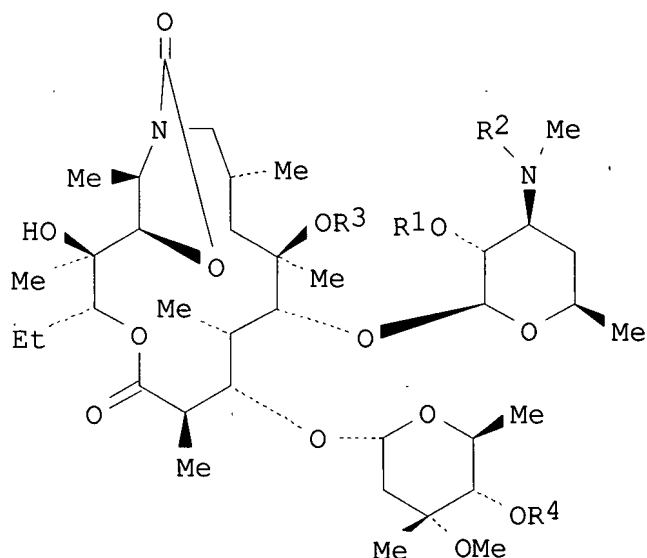
RN 158500-47-1 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3,4-di-O-methyl- α -L-ribo-

hexopyranosyl)oxy]-12-ethyl-13-hydroxy-5-methoxy-3,5,7,9,13,17-hexamethyl-
6-[[3,4,6-trideoxy-3-(dimethylamino)-2-O-methyl- β -D-xylo-
hexopyranosyl]oxy]-,
[1S-(1R*,3S*,5S*,6S*,7R*,8R*,9S*,12S*,13S*,14S*,17S*)
]- (9CI) (CA INDEX NAME)



GI



AB The title compds., novel semisynthetic macrolide antibiotics of the azalide type, have formula I [R1 = R2 = CO₂CH₂Ph, R3 = R4 = Me or H, or R3 = Me and R4 = H; R1 = R2 = H, R3 = R4 = Me or H, or R3 = Me and R4 = H; R1 = H, R2 = R3 = R4 = Me; R1 = R4 = H, R2 = R3 = Me; R1 = R3 = R4 = H, R2 =

Me]. I are said to show potent antibacterial activity in vitro using Mueller-Hinton medium and 2-fold dilution technique (no data). For example,

9-deoxo-9a-aza-9a-homoerythromycin A reacted with excess benzyl chloroformate and NaHCO₃ in benzene to give 70.1% of its 2'-O-(3',9a-di-N)-tris(benzyloxycarbonyl)-N-demethyl derivative. This underwent cyclization and O-methylation by MeI and NaH, followed by hydrogenolysis, to give a mixture of I [R1 = R2 = H, R3 = R4 = Me or H, or R3 = Me and R4 = H].

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:107552 CAPLUS

DOCUMENT NUMBER: 120:107552

TITLE: 9a,11-Cyclic carbamates of 15-membered azalides

AUTHOR(S): Kobrehel, Gabrijela; Lazarevski, Gorjana; Kelneric, Zeljko; Dokic, Slobodan

CORPORATE SOURCE: Res. Inst., PLIVA, Zagreb, 41000, Croatia

SOURCE: Journal of Antibiotics (1993), 46(8), 1239-45

CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 152344-25-7P 152369-77-2P 152369-78-3P

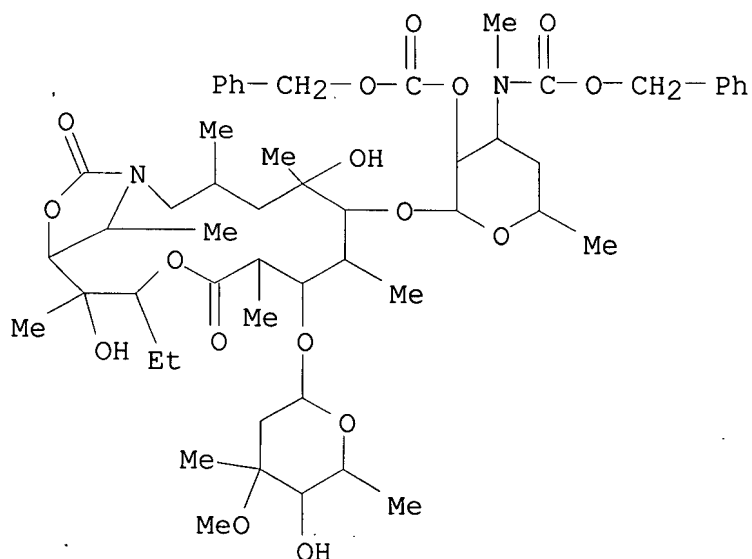
RL: SPN (Synthetic preparation); PREP (Preparation)

10/527,940

(intermediate in preparation of cyclic carbamates)

RN 152344-25-7 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-12-ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-[methyl[(phenylmethoxy)carbonyl]amino]-2-O-[(phenylmethoxy)carbonyl]- β -D-xylo-hexopyranosyl]oxy]-, [3R-(3R*,5R*,6R*,7S*,8S*,9R*,12R*,13R*,14R*,17R*)]- (9CI) (CA INDEX NAME)

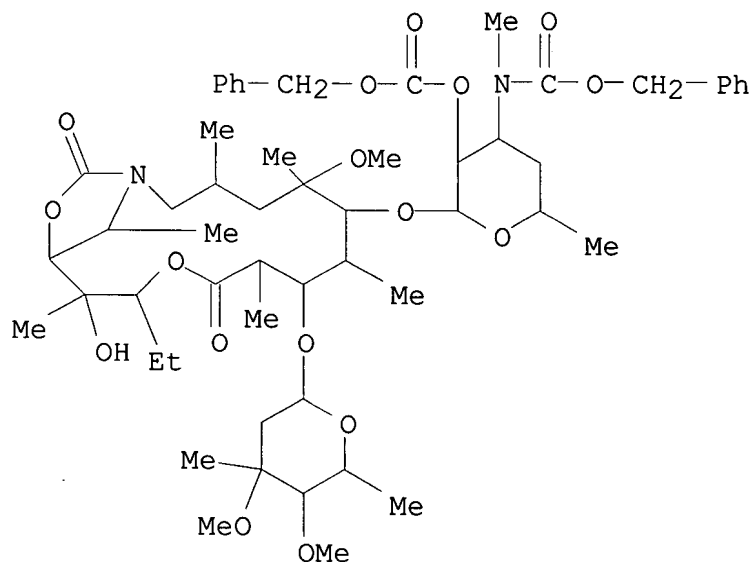


RN 152369-77-2 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3,4-di-O-methyl- α -L-ribo-

hexopyranosyl)oxy]-12-ethyl-13-hydroxy-5-methoxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-[methyl[(phenylmethoxy)carbonyl]amino]-2-O-[(phenylmethoxy)carbonyl]- β -D-xylo-hexopyranosyl]oxy]-, [3R-(3R*,5R*,6R*,7S*,8S*,9R*,12R*,13R*,14R*,17R*)]- (9CI) (CA INDEX NAME)

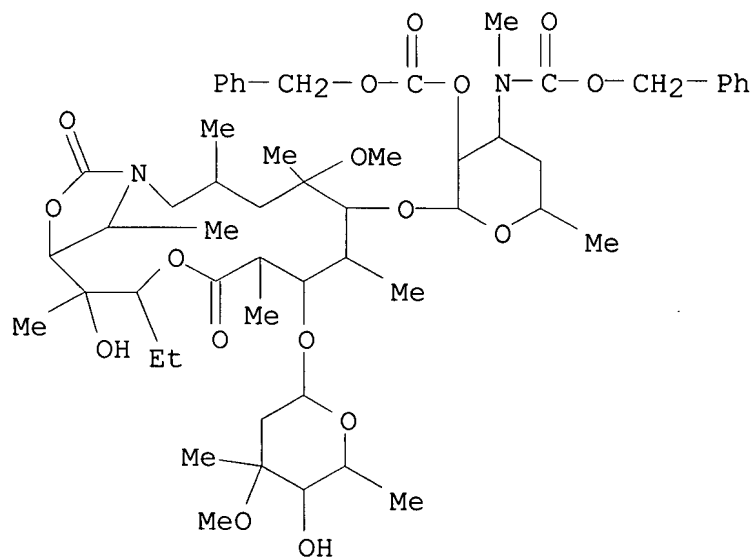
10/527,940



RN 152369-78-3 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-12-

ethyl-13-hydroxy-5-methoxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-
[methyl[(phenylmethoxy)carbonyl]amino]-2-O-[(phenylmethoxy)carbonyl]-
β-D-xylo-hexopyranosyl]oxy]-, [3R-(3R*,5R*,6R*,7S*,8S*,9R*,12R*,13R*,
14R*,17R*)]- (9CI) (CA INDEX NAME)



IT 152369-80-7P 152369-81-8P

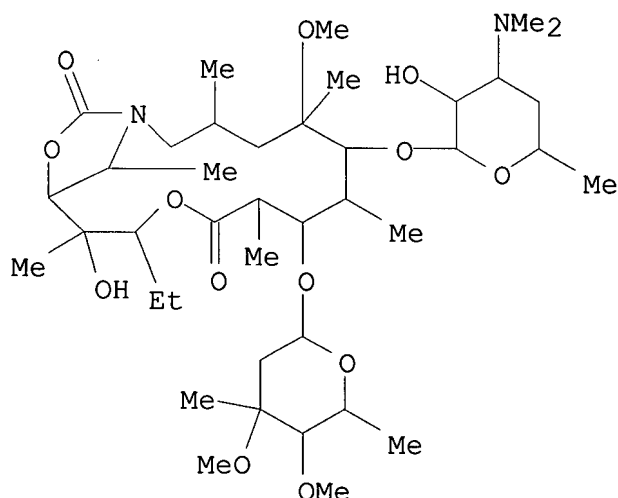
10/527,940

RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 152369-80-7 CAPLUS

CN 11,15-Dioxo-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3,4-di-O-methyl- α -L-ribo-

hexopyranosyl)oxy]-12-ethyl-13-hydroxy-5-methoxy-3,5,7,9,13,17-hexamethyl-
6-[[3,4,6-trideoxy-3-(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-,
[3R-(3R*,5R*,6R*,7S*,8S*,9R*,12R*,13R*,14R*,17R*)]- (9CI) (CA INDEX
NAME)



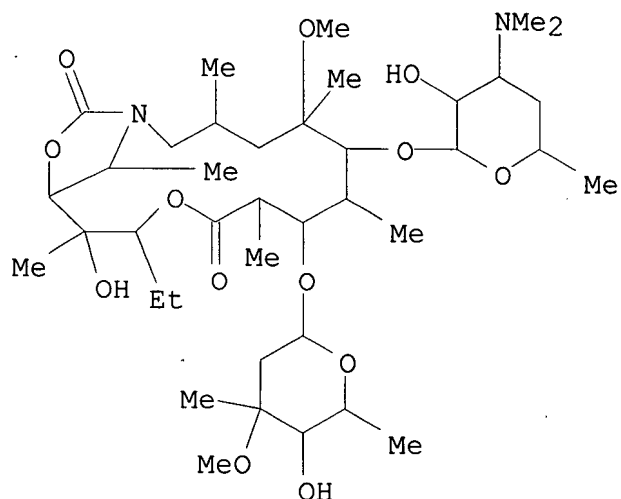
RN 152369-81-8 CAPLUS

CN 11,15-Dioxo-1-azabicyclo[12.2.1]heptadecane-10,16-dione,

8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-12-

ethyl-13-hydroxy-5-methoxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-
(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-, [3R-
(3R*,5R*,6R*,7S*,8S*,9R*,12R*,13R*,14R*,17R*)]- (9CI) (CA INDEX NAME)

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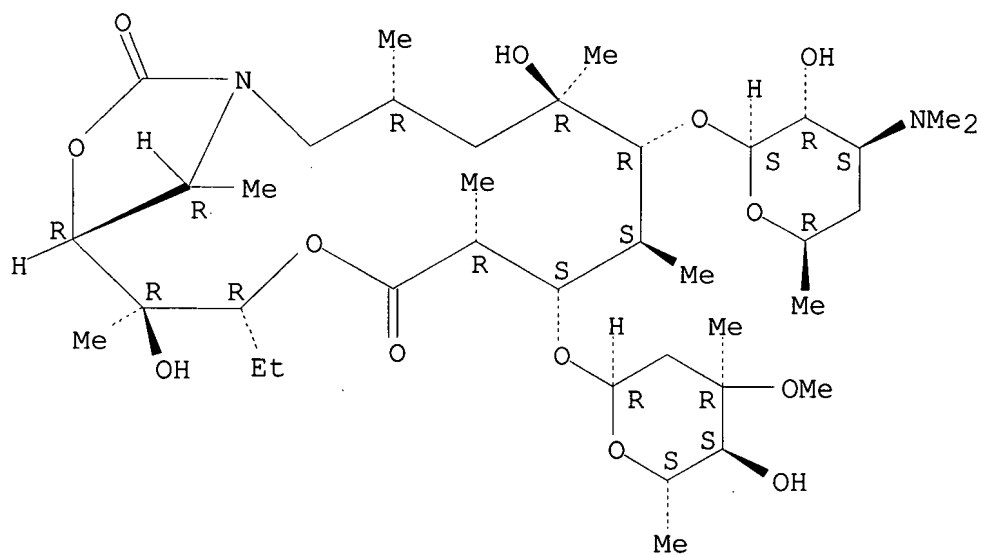
IT 152344-27-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 152344-27-9 CAPLUS

CN 11,15-Dioxa-1-azabicyclo[12.2.1]heptadecane-10,16-dione,
8-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-12-
ethyl-5,13-dihydroxy-3,5,7,9,13,17-hexamethyl-6-[[3,4,6-trideoxy-3-
(dimethylamino)- β -D-xylo-hexopyranosyl]oxy]-,
(3R,5R,6R,7S,8S,9R,12R,13R,14R,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

10/527,940

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The novel 9a,11-cyclic carbamates I (R = R1 = H, Me; R = Me, R1 = H) have been prepared from homoerythromycin derivative II and characterized by 1H-1H and 1H-13C 2D NMR spectroscopy. When compared to azithromycin or its 6-O-Me derivative I exhibited substantially decreased antibacterial activities in vitro.

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
27.29	200.05

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-3.90	-3.90

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